

NSPEC IS R AT 23  
NSPEC IS C AT 24  
NSPEC IS C AT 25  
NSPEC IS C AT 26  
NSPEC IS C AT 27  
NSPEC IS C AT 28  
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DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 24 25 27 29 31 32 33 34 35 36 37 38 39 40  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 40

## STEREO ATTRIBUTES: NONE

L8 93 SEA FILE=REGISTRY SSS FUL L1  
L10 7 SEA FILE=CAPLUS ABB=ON PLU=ON L8

=> d ibib abs hitstr l10 tot

L10 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:767285 CAPLUS

DOCUMENT NUMBER: 141:410789

TITLE: Benzothiophene and naphthalene derived constrained  
SERMs

AUTHOR(S): Wallace, Owen B.; Bryant, Henry U.; Shetler, Pamela  
K.; Adrian, Mary D.; Geiser, Andrew G.

CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company,  
Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),  
14(20), 5103-5106

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:410789

AB For selective estrogen receptor modulators (SERMs), the orientation of the  
basic side chain relative to the SERM core has a significant impact on  
function. The synthesis and biol. evaluation of two series of SERMs are  
disclosed, where the ligand side chain is constrained to adopt a defined  
orientation. Compds. where the side chain is forced into the plane of the  
SERM core have a different profile compared to those compds. where the  
side chain is pseudo-orthogonal, particularly with regard to antagonism of  
estradiol action on an Ishikawa uterine cell line.

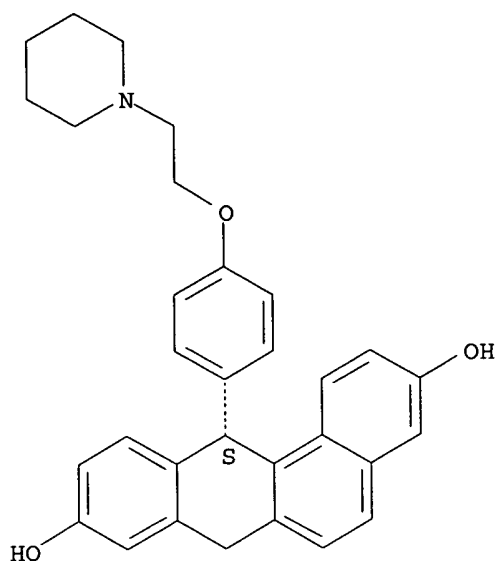
IT 676456-49-8P 676456-50-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of piperazinoethoxyphenylbenzonaphthothiophenes and  
-benzanthracenes as selective estrogen receptor modulators)

RN 676456-49-8 CAPLUS

CN Benz[a]anthracene-3,9-diol, 7,12-dihydro-12-[4-[2-(1-  
piperidinyl)ethoxy]phenyl]-, (12S)- (9CI) (CA INDEX NAME)

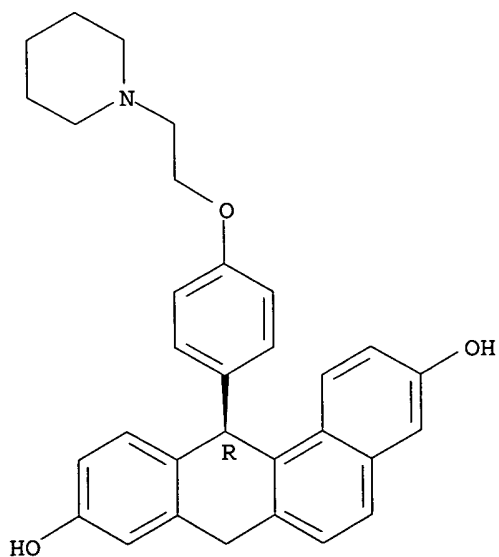
Absolute stereochemistry.



RN 676456-50-1 CAPLUS

CN Benz[a]anthracene-3,9-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

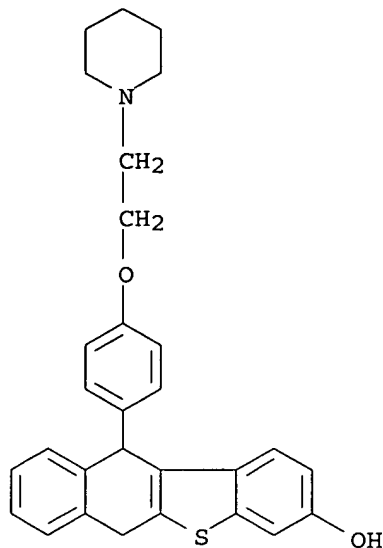


IT 676456-27-2P 676456-30-7P 676456-33-0P  
676456-36-3P 676456-43-2P 676456-46-5P  
676456-51-2P 676456-53-4P 791837-74-6P  
791837-75-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of piperazinoethoxyphenylbenzonaphthothiophenes and -benzanthracenes as selective estrogen receptor modulators)

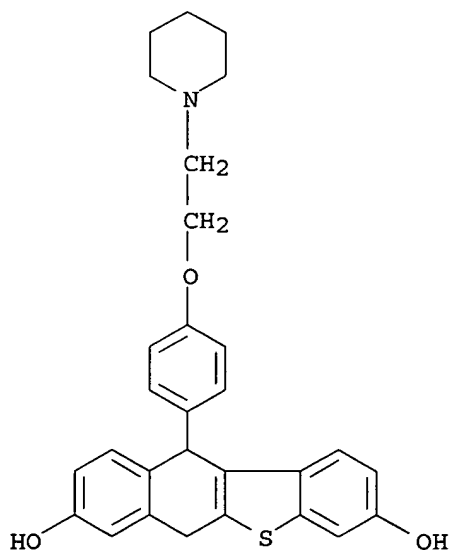
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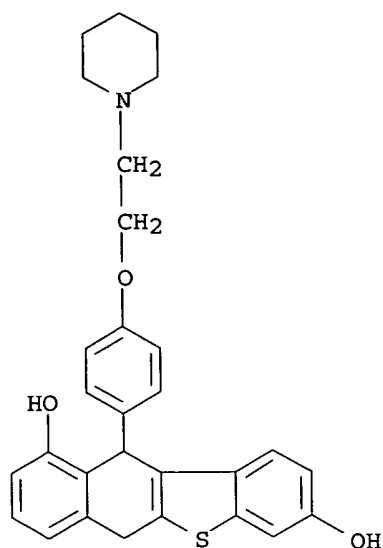
RN 676456-30-7 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3,8-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



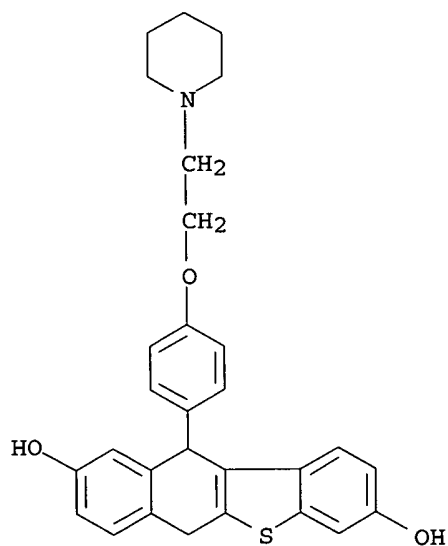
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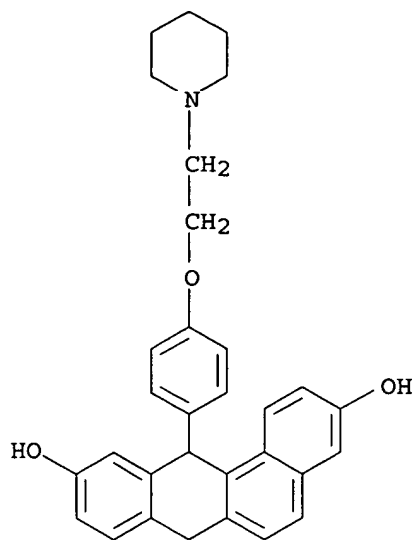
RN 676456-36-3 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3,9-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



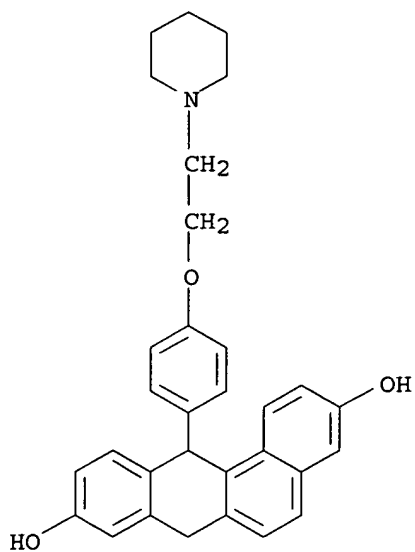
RN 676456-43-2 CAPLUS

CN Benz[a]anthracene-3,10-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



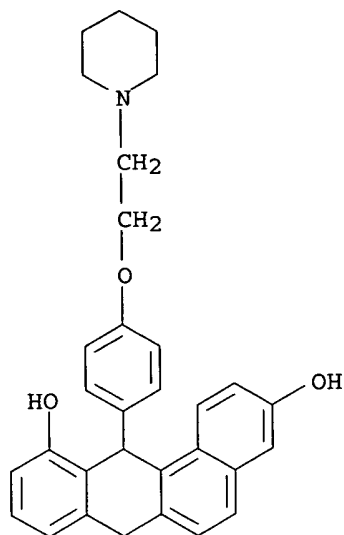
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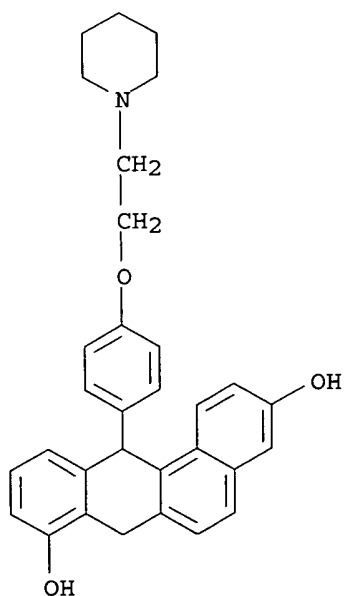
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CN Benz[a]anthracene-3,11-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyloxy)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



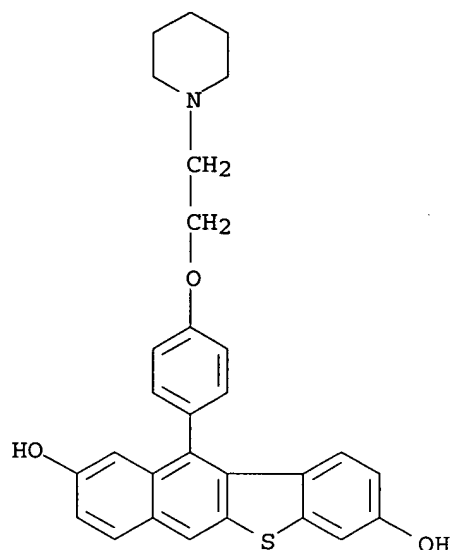
RN 676456-53-4 CAPLUS

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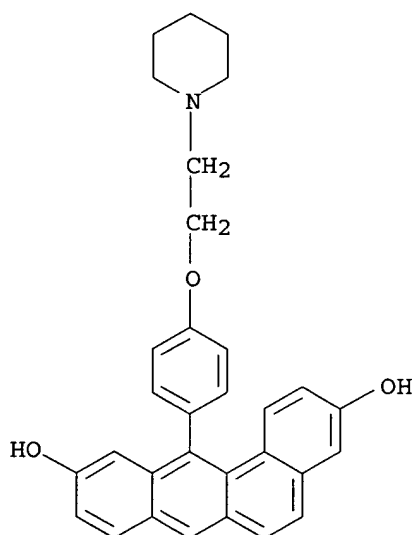


RN 791837-74-6 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3,9-diol, 11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 791837-75-7 CAPLUS

CN Benz[a]anthracene-3,10-diol, 12-[4-[2-(1-piperidinyl)ethoxy]phenyl] - (9CI)  
(CA INDEX NAME)REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:292023 CAPLUS

DOCUMENT NUMBER: 140:303419

TITLE: Preparation of dihydro-dibenzo(a)anthracenes as  
selective estrogen receptor modulators

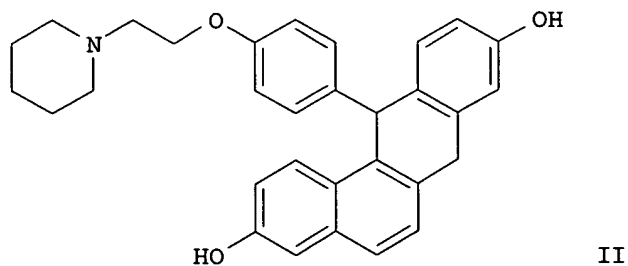
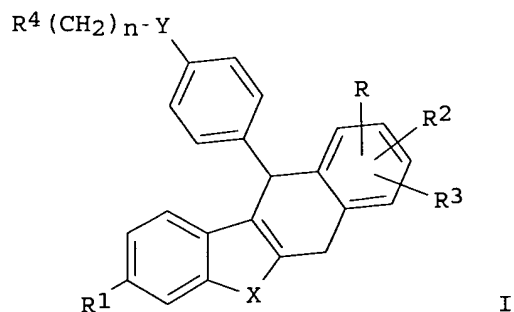
INVENTOR(S): Wallace, Owen Brendan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 58 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
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 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029047	A1	20040408	WO 2003-US26304	20030922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2497627	AA	20040408	CA 2003-2497627	20030922
AU 2003265581	A1	20040419	AU 2003-265581	20030922
EP 1546139	A1	20050629	EP 2003-798700	20030922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014594	A	20050809	BR 2003-14594	20030922
JP 2006508066	T2	20060309	JP 2004-539841	20030922
PRIORITY APPLN. INFO.:			US 2002-413609P	P 20020925
			WO 2003-US26304	W 20030922
OTHER SOURCE(S):			MARPAT 140:303419	
GI				





AB Dihydro-dibenzo(a)anthracenes of formula I [R1 = H, OH, alkoxy, benzoyloxy, acyloxy, OSO2alkyl, etc.; R, R2, R3 = H, OH, alkoxy, benzoyloxy, acyloxy, OSO2alkyl, halo; R4 = 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino; n = 2-3; X = S, CH=CH; Y = O, S, NH, NMe, CH2] are prepared for pharmaceutical compns., optionally in combination with estrogen and progestin, for inhibiting a disease associated with estrogen deprivation or a disease associated with an aberrant physiol. response to endogenous estrogen. Thus, II.TFA was prepared from (2,6-dimethoxynaphthalen-1-yl)-[4-(2-piperidin-1-ylethoxy)phenyl]methanone and 3-methoxybenzylzinc chloride. II had IC50 of 2 nM against MCF-7 breast adenocarcinoma cells.

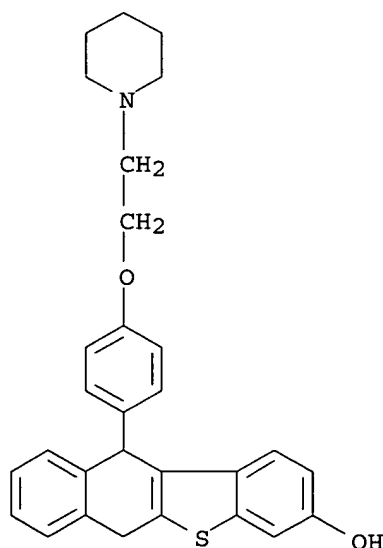
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 676456-39-6P 676456-41-0P 676456-43-2P  
 676456-44-3P 676456-46-5P 676456-47-6P  
 676456-49-8P 676456-50-1P 676456-51-2P  
 676456-52-3P 676456-53-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydro-dibenzoanthracenes as selective estrogen receptor modulators)

RN 676456-27-2 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3-ol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 676456-28-3 CAPLUS

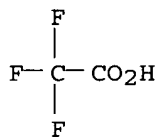
CN Benzo[b]naphtho[2,3-d]thiophene-3-ol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

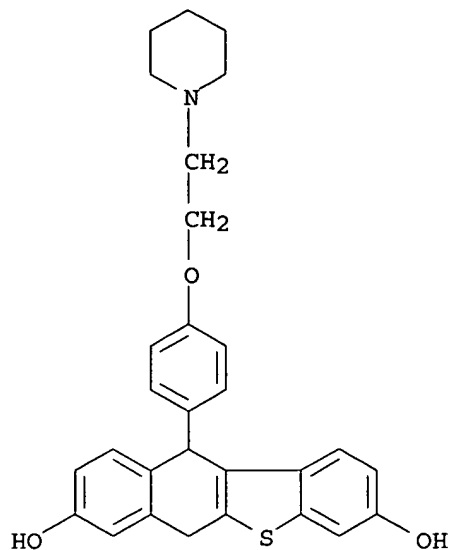
CRN 676456-27-2

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CRN 76-05-1  
CMF C2 H F3 O2



RN	676456-30-7	CAPLUS
CN	Benzo[b]naphtho[2,3-d]thiophene-3,8-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)	



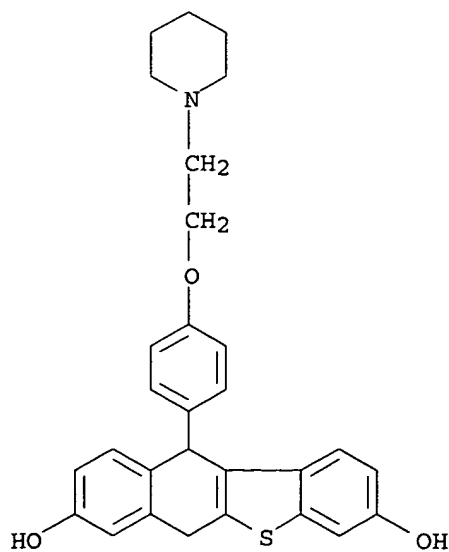
RN 676456-31-8 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3,8-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 676456-30-7

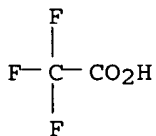
CMF C29 H29 N O3 S



CM 2

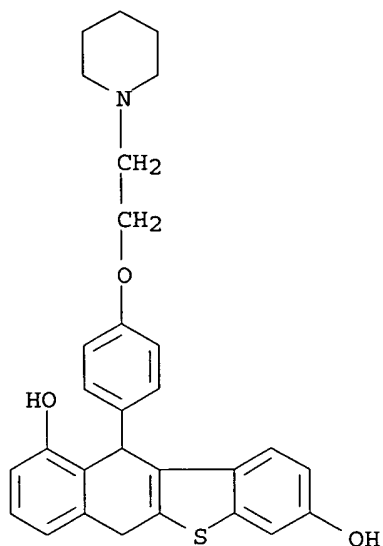
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CMF C2 H F3 O2



RN 676456-33-0 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3,10-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



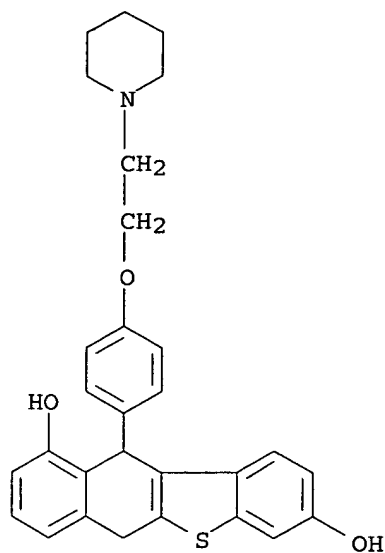
RN 676456-34-1 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene-3,10-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

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CRN 676456-33-0

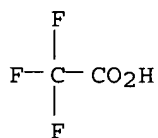
CMF C29 H29 N O3 S



CM 2

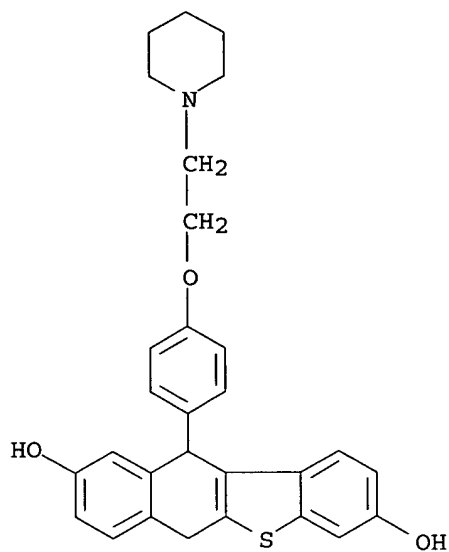
CRN 76-05-1

CMF C2 H F3 O2



RN 676456-36-3 CAPLUS

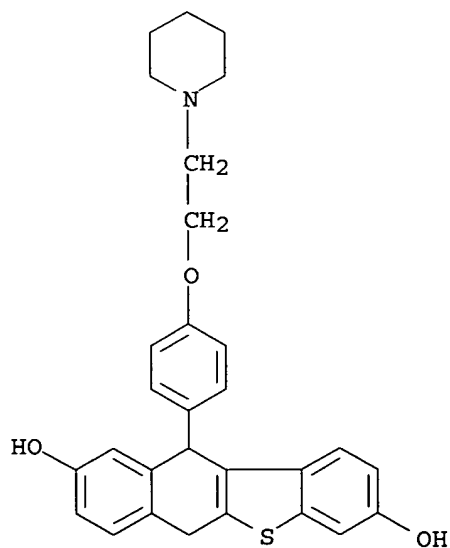
CN Benzo[b]naphtho[2,3-d]thiophene-3,9-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 676456-37-4 CAPLUS  
CN Benzo[b]naphtho[2,3-d]thiophene-3,9-diol, 6,11-dihydro-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

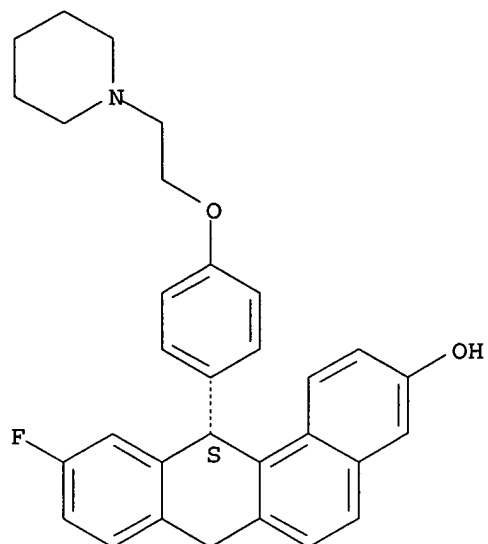
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CM 2

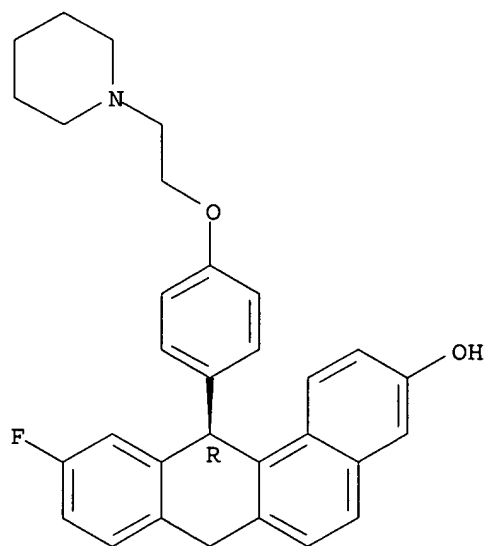
CRN 76-05-1



RN 676456-41-0 CAPLUS

CN Benz[a]anthracen-3-ol, 10-fluoro-7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (12R)- (9CI) (CA INDEX NAME)

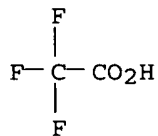
Absolute stereochemistry.



RN 676456-43-2 CAPLUS

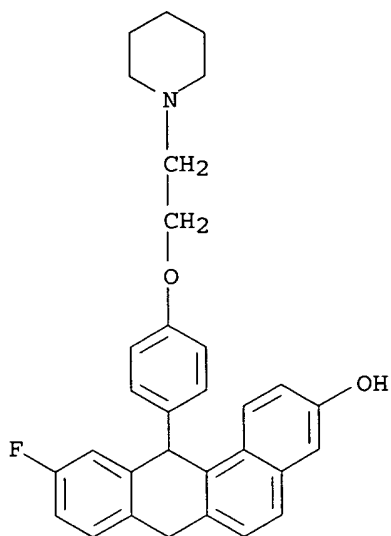
CN Benz[a]anthracene-3,10-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

CMF C2 H F3 O2



RN 676456-38-5 CAPLUS

CN Benz[a]anthracen-3-ol, 10-fluoro-7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl] - (9CI) (CA INDEX NAME)

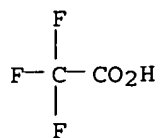


RN 676456-39-6 CAPLUS

CN Benz[a]anthracen-3-ol, 10-fluoro-7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl] -, (12S) - (9CI) (CA INDEX NAME)

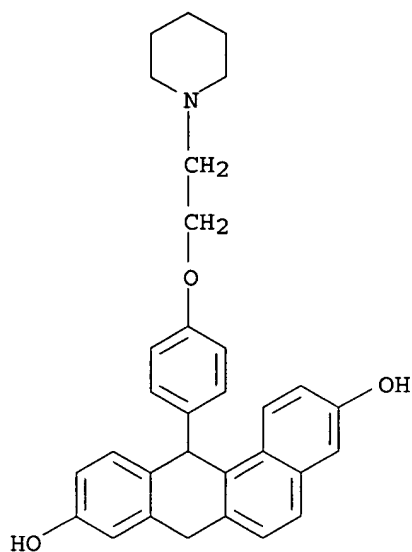
Absolute stereochemistry.





RN 676456-46-5 CAPLUS

CN Benz[a]anthracene-3,9-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



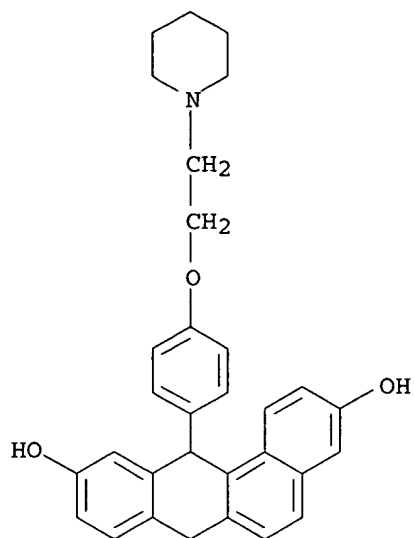
RN 676456-47-6 CAPLUS

CN Benz[a]anthracene-3,9-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 676456-46-5

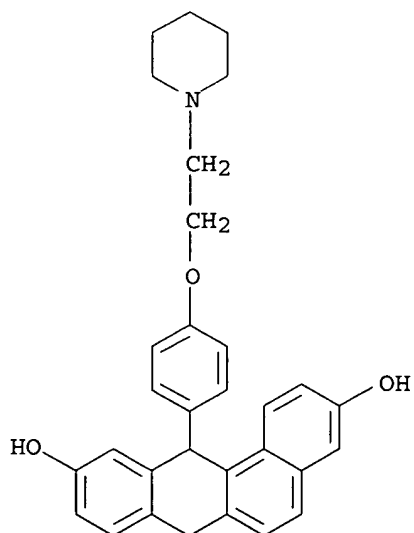
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RN 676456-44-3 CAPLUS  
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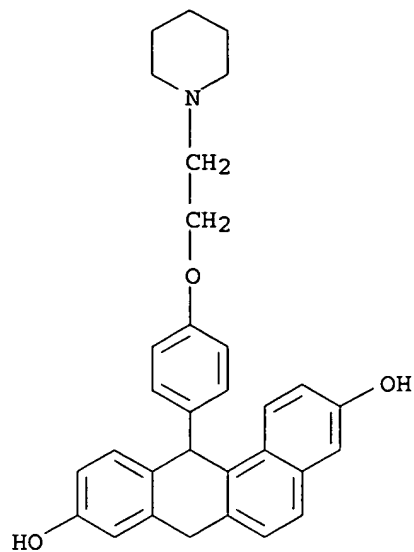
CM 1

CRN 676456-43-2  
CMF C31 H31 N O3



CM 2

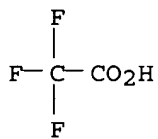
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CM 2

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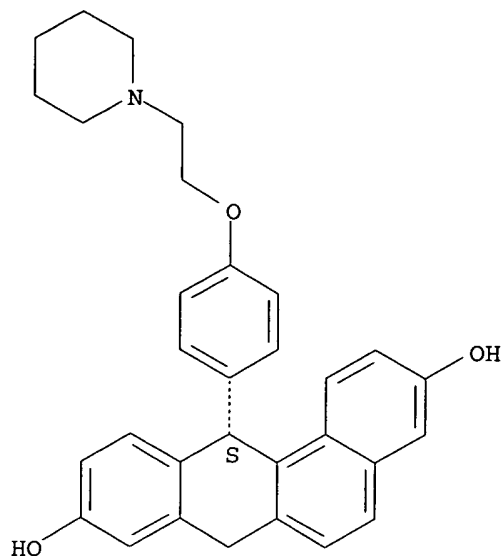
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RN 676456-49-8 CAPLUS

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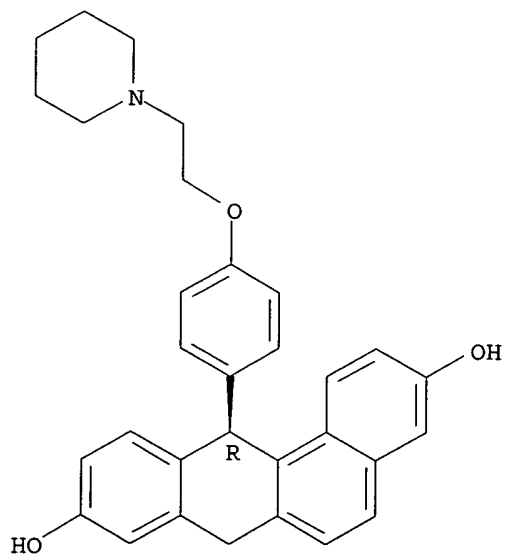
Absolute stereochemistry.



RN 676456-50-1 CAPLUS

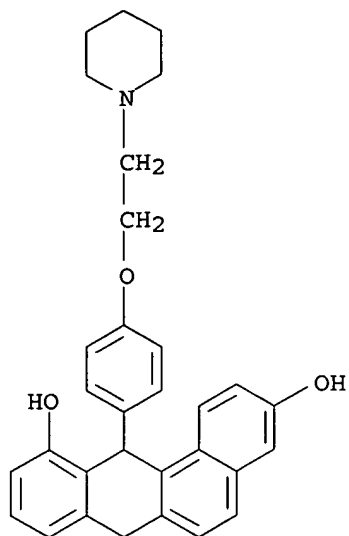
CN Benz[a]anthracene-3,9-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676456-51-2 CAPLUS

CN Benz[a]anthracene-3,11-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



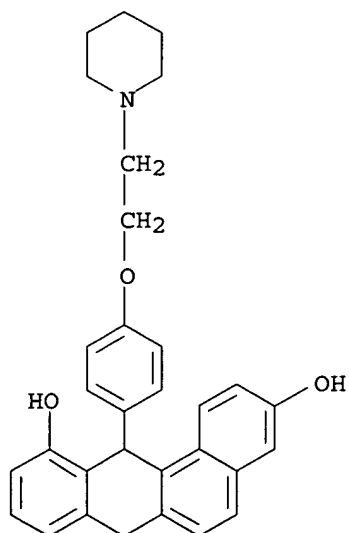
RN 676456-52-3 CAPLUS

CN Benz[a]anthracene-3,11-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 676456-51-2

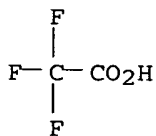
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CM 2

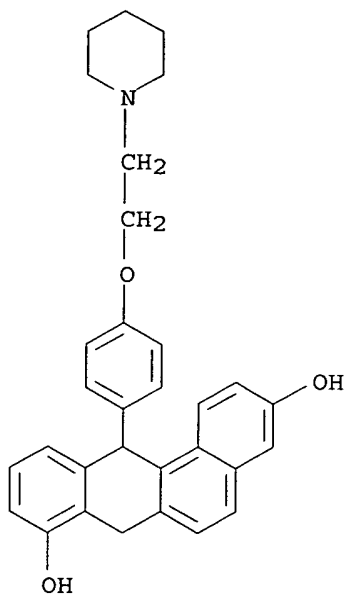
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CMF C2 H F3 O2



RN 676456-53-4 CAPLUS

CN Benz[a]anthracene-3,8-diol, 7,12-dihydro-12-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:607330 CAPLUS

DOCUMENT NUMBER: 133:193067

TITLE: Preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes for treating insulin resistance and hyperglycemia

INVENTOR(S): Wrobel, Jay E.; Dietrich, Arlene J.; Li, Zenan

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: U.S., 67 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

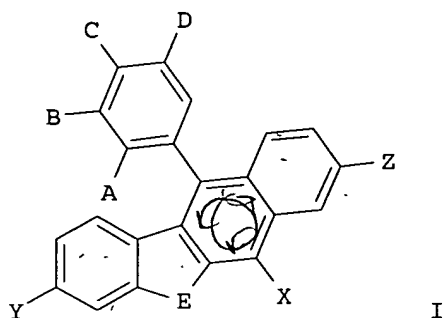
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6110962	A	20000829	US 1999-307840	19990510
PRIORITY APPLN. INFO.:			US 1998-98554P	P 19980512
OTHER SOURCE(S):	MARPAT	133:193067		

GI



AB The title compds. [I; A = H, halo, OH; B, D = H, halo, CN, etc.; E = S, SO, SO<sub>2</sub>, O; X = H, halo, alkyl, etc.; Y, Z = H, OR<sub>2</sub>; R<sub>2</sub> = H, alkyl, aralkyl, CH<sub>2</sub>CO<sub>2</sub>R<sub>3</sub>; R<sub>3</sub> = H, alkyl; C = H, halo, OR<sub>4</sub>; R<sub>4</sub> = H, alkyl, CH(R<sub>5</sub>)W, etc.; R<sub>5</sub> = H, alkyl, aralkyl, etc.; W = CONH<sub>2</sub>, CONHOH, CN, etc.; with the proviso that at least one of A-D is not H atom] and their pharmaceutically acceptable salts, which are useful in treating insulin resistance and hyperglycemia, were prepared E.g., a multi-step synthesis of I [A, B, D = H; C = OH; E = S; X, Y, Z = H] which showed -34.19% change from control in test for PTPase inhibition at 50 μM, was given.

IT 245359-81-3P 250350-47-1P 250350-48-2P  
 250350-50-6P 250350-83-5P 250350-92-6P  
 250350-97-1P 250351-01-0P 250351-10-1P  
 250351-24-7P 250351-26-9P 250351-40-7P  
 289628-82-6P

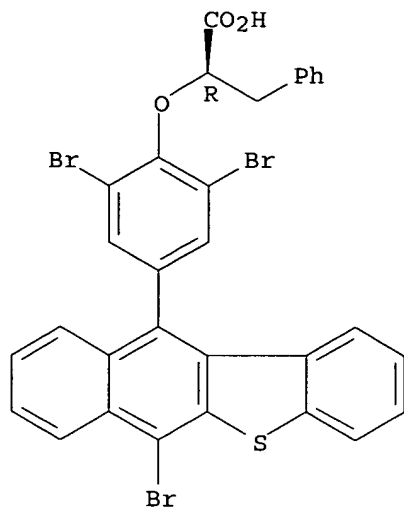
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes for treating insulin resistance and hyperglycemia)

RN 245359-81-3 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αR)- (9CI) (CA INDEX NAME)

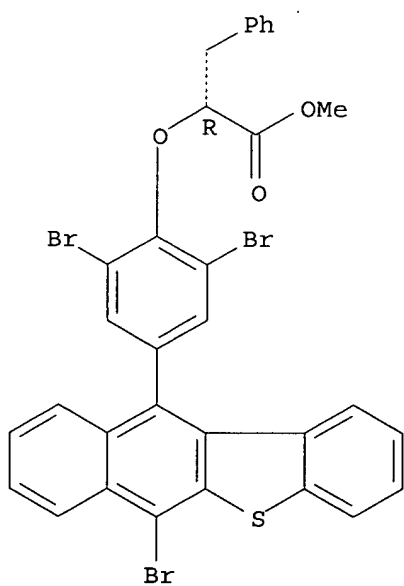
Absolute stereochemistry. Rotation (+).



RN 250350-47-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

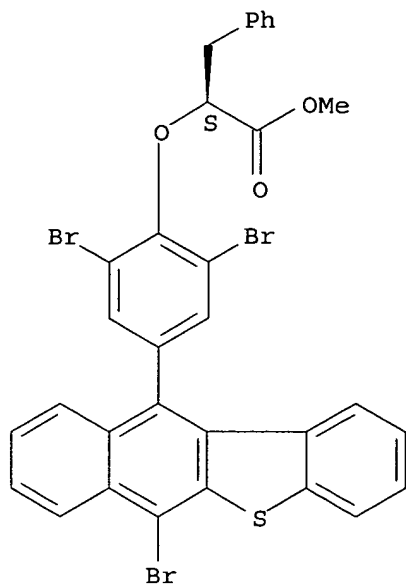


RN 250350-48-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

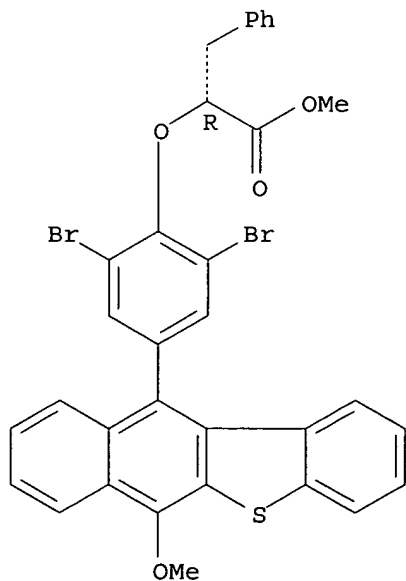




RN 250350-50-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-methoxybenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

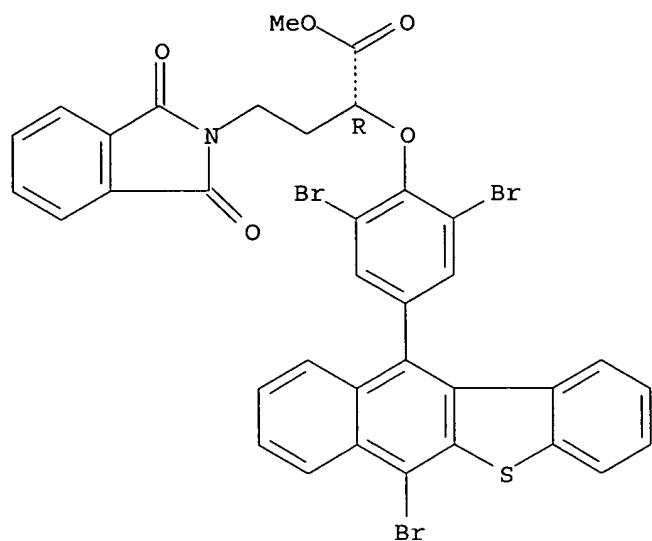
Absolute stereochemistry.



RN 250350-83-5 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

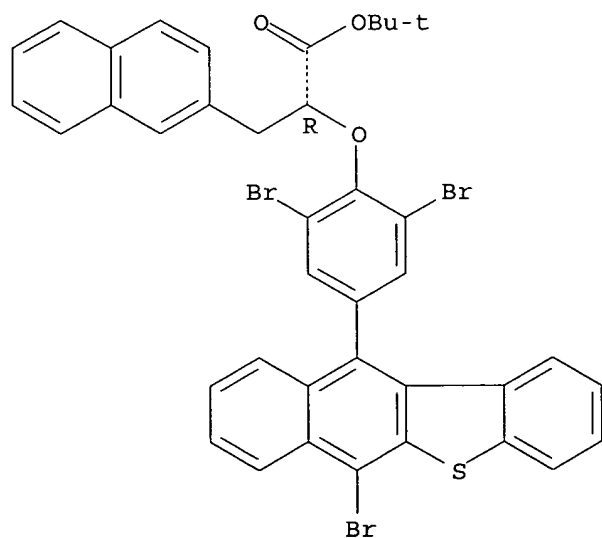
Absolute stereochemistry.



RN 250350-92-6 CAPLUS

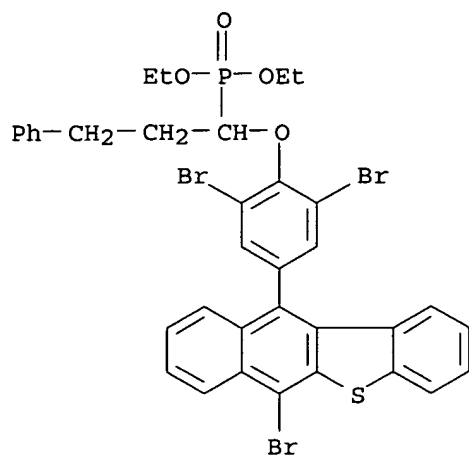
CN 2-Naphthalenepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, 1,1-dimethylethyl ester, (αR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250350-97-1 CAPLUS

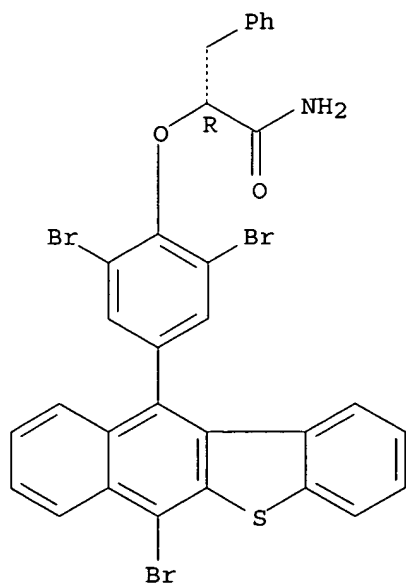
CN Phosphonic acid, [1-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-3-phenylpropyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 250351-01-0 CAPLUS

CN Benzenepropanamide,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

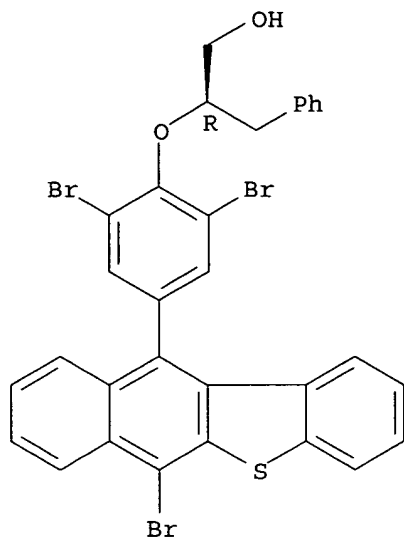
Absolute stereochemistry.



RN 250351-10-1 CAPLUS

CN Benzenepropanol,  $\beta$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

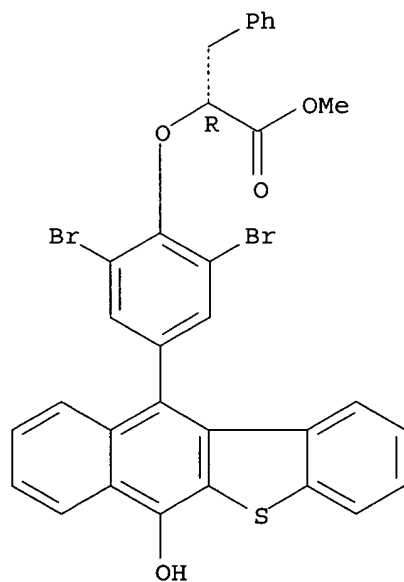
Absolute stereochemistry.



RN 250351-24-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-hydroxybenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

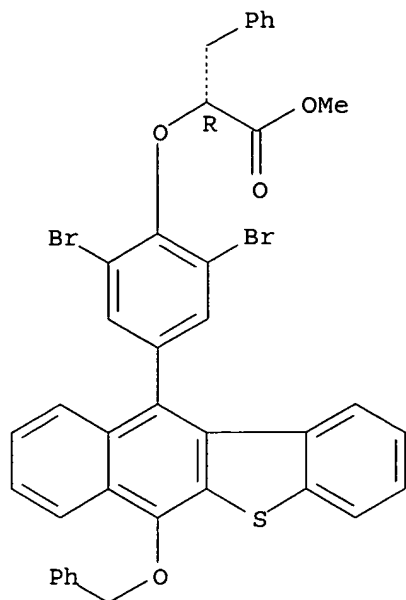
Absolute stereochemistry.



RN 250351-26-9 CAPLUS

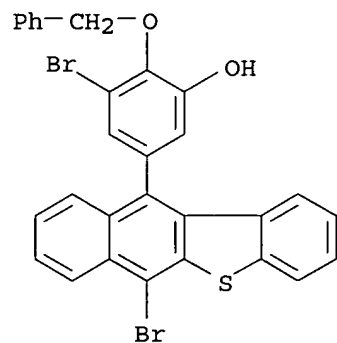
CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(phenylmethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, methyl ester, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250351-40-7 CAPLUS

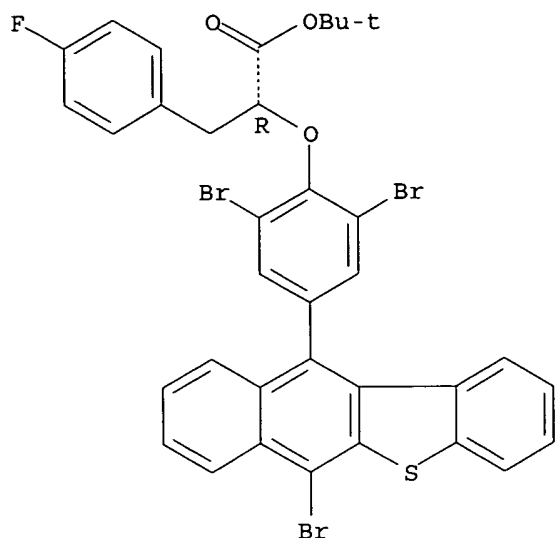
CN Phenol, 3-bromo-5-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 289628-82-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-4-fluoro-, 1,1-dimethylethyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



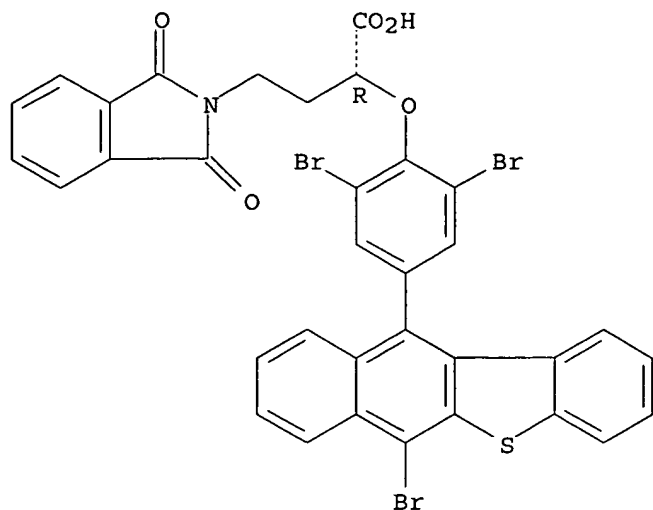
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 250350-62-0P 250350-63-1P 250350-64-2P  
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 289628-80-4P 289628-81-5P 289628-83-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes for treating insulin resistance and hyperglycemia)

RN 245359-83-5 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

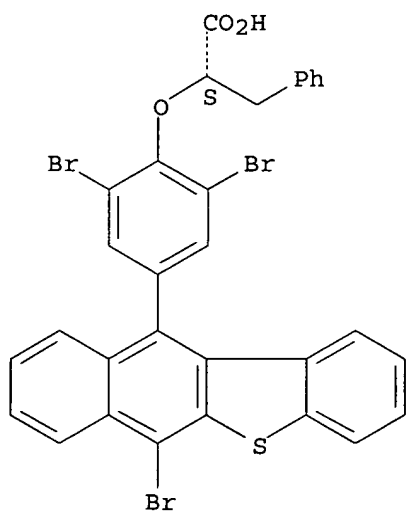
Absolute stereochemistry.



RN 250350-49-3 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αS)- (9CI) (CA INDEX NAME)

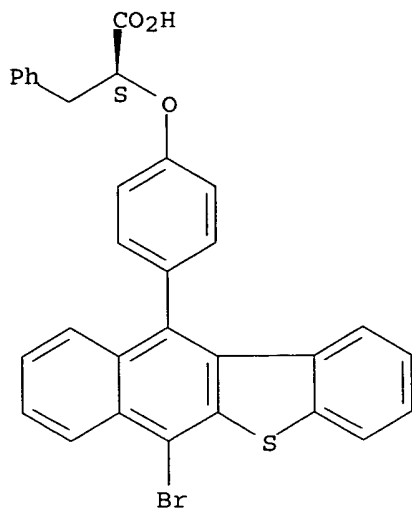
Absolute stereochemistry. Rotation (-).



RN 250350-52-8 CAPLUS

CN Benzenepropanoic acid, α-[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αS)- (9CI) (CA INDEX NAME)

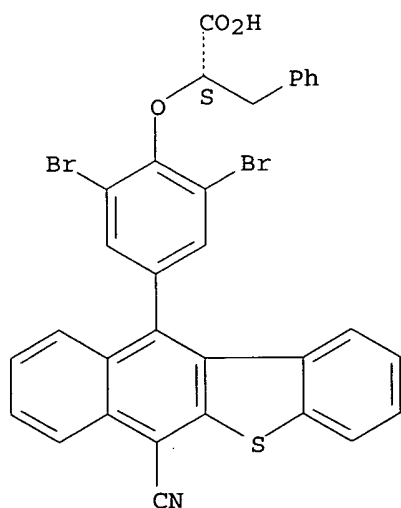
Absolute stereochemistry. Rotation (+).



RN 250350-53-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-cyanobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

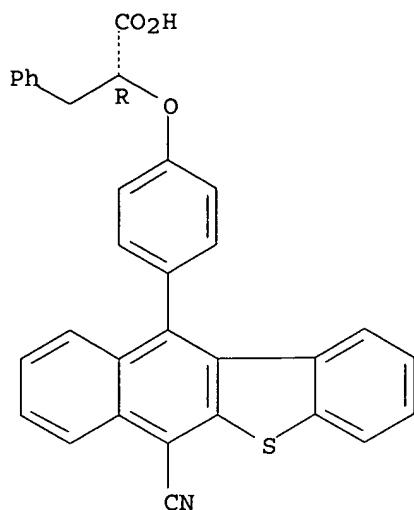


RN 250350-54-0 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-(6-cyanobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

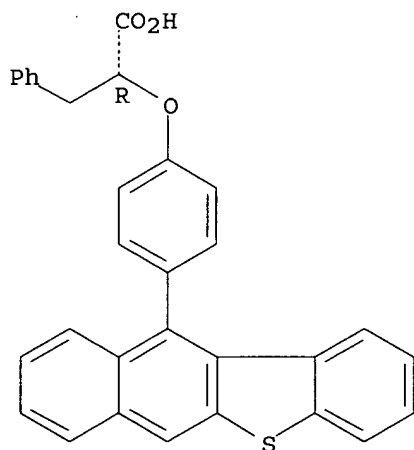




RN 250350-55-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-ylphenoxy)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

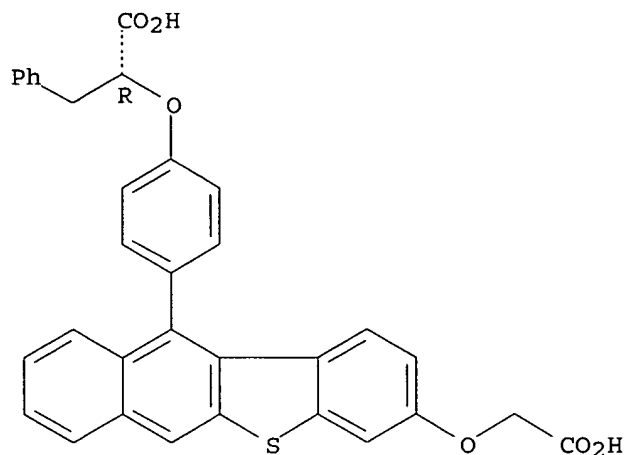
Absolute stereochemistry. Rotation (-).



RN 250350-57-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-[3-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

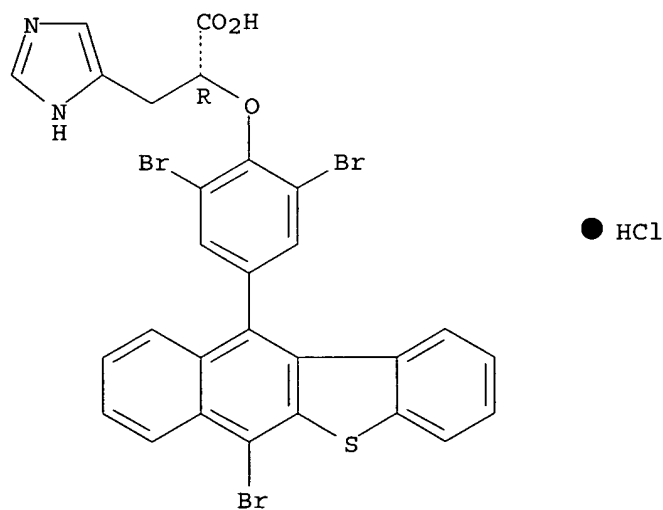
Absolute stereochemistry.



RN 250350-58-4 CAPLUS

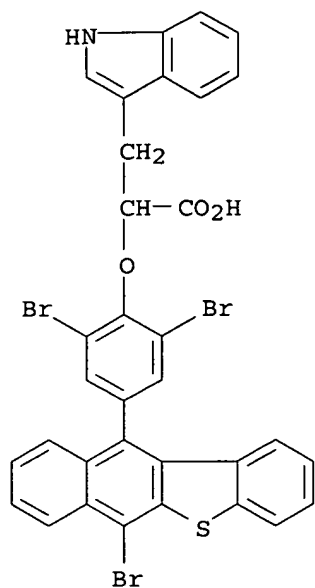
CN 1H-Imidazole-4-propanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, monohydrochloride, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250350-60-8 CAPLUS

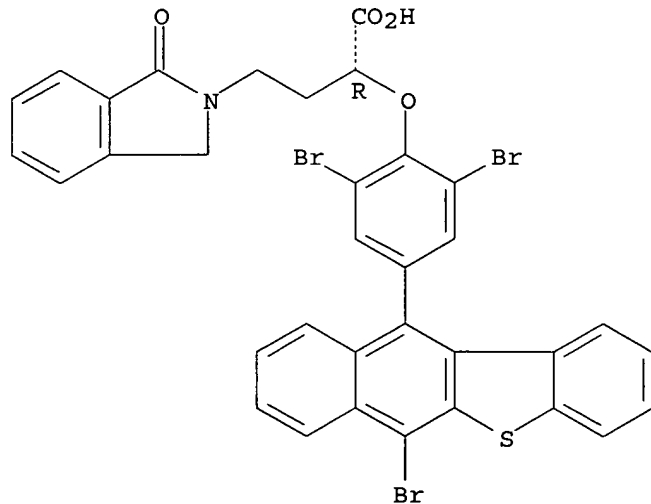
CN 1H-Indole-3-propanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 250350-62-0 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1-oxo-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

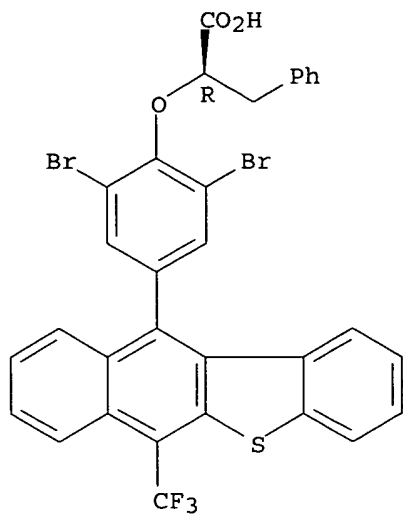
Absolute stereochemistry.



RN 250350-63-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(trifluoromethyl)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

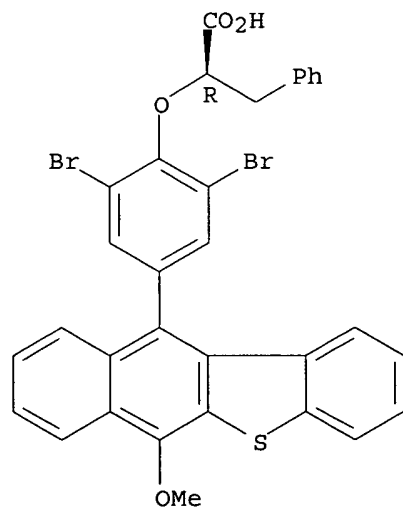
Absolute stereochemistry. Rotation (+).



RN 250350-64-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-methoxybenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

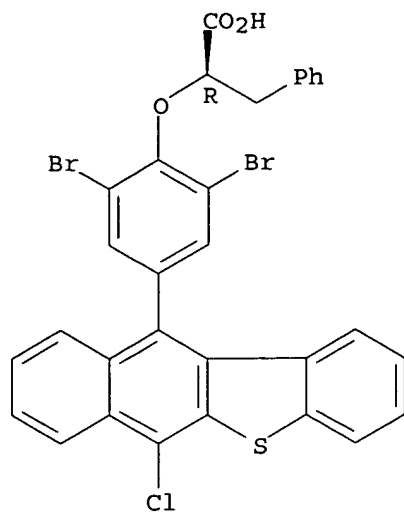
Absolute stereochemistry.



RN 250350-65-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-chlorobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

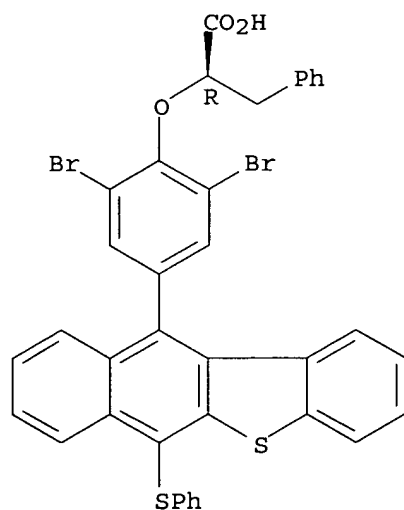
Absolute stereochemistry. Rotation (+).



RN 250350-66-4 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-[6-(phenylthio)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, (αR)- (9CI)  
(CA INDEX NAME)

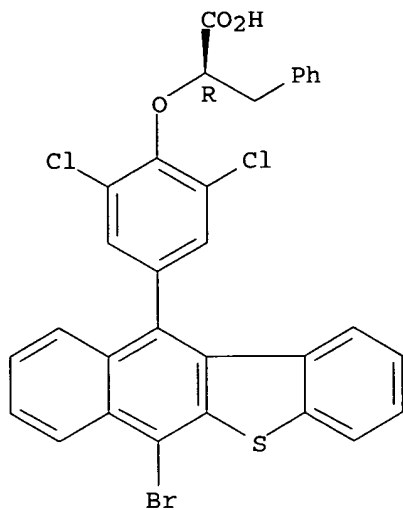
Absolute stereochemistry.



RN 250350-68-6 CAPLUS

CN Benzenepropanoic acid, α-[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-2,6-dichlorophenoxy]-, (αR)- (9CI) (CA INDEX NAME)

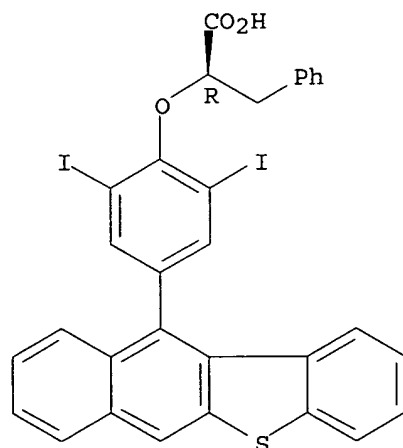
Absolute stereochemistry.



RN 250350-69-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-yl-2,6-diiodophenoxy)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

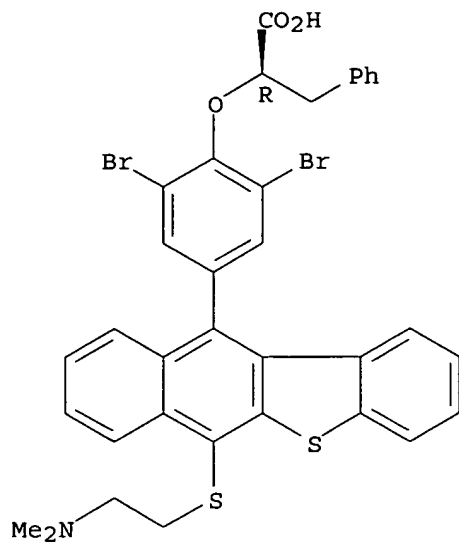
Absolute stereochemistry.



RN 250350-71-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-[[2-(dimethylamino)ethyl]thio]benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

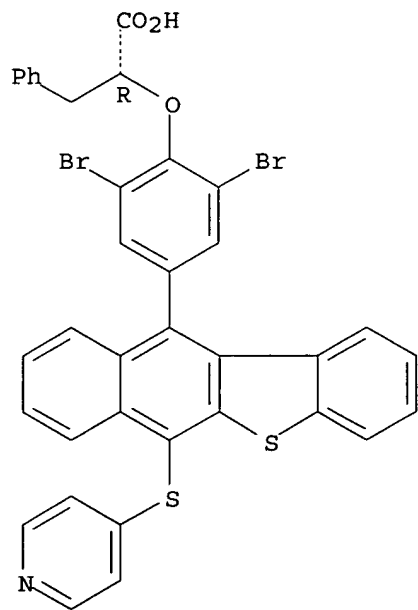
Absolute stereochemistry.



RN 250350-72-2 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-[6-(4-pyridinylthio)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, (αR)-(9CI) (CA INDEX NAME)

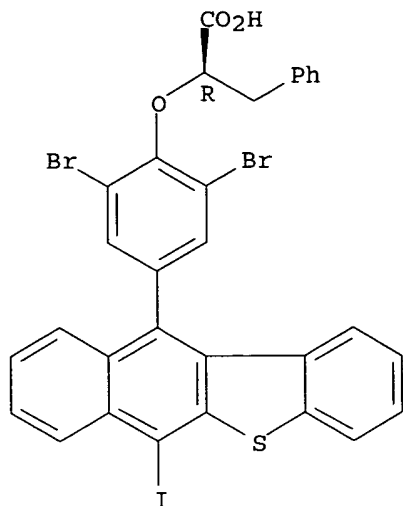
Absolute stereochemistry.



RN 250350-74-4 CAPLUS

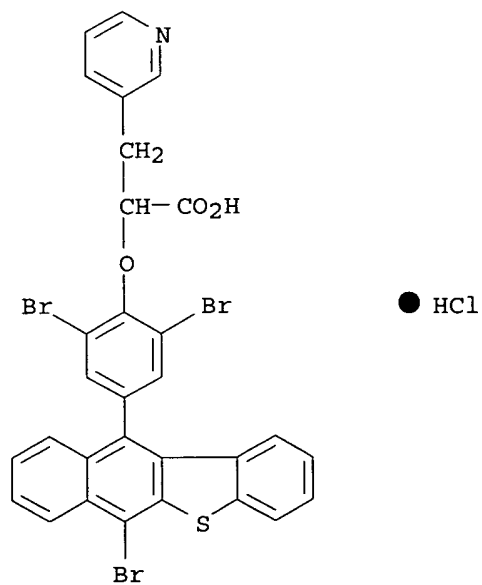
CN Benzenepropanoic acid, α-[2,6-dibromo-4-(6-iodobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 250350-75-5 CAPLUS

CN 3-Pyridinepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

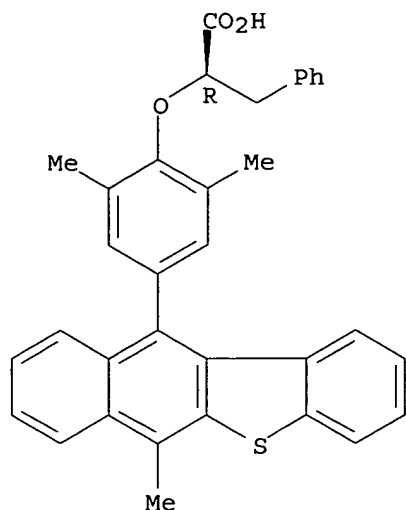


RN 250350-76-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dimethyl-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

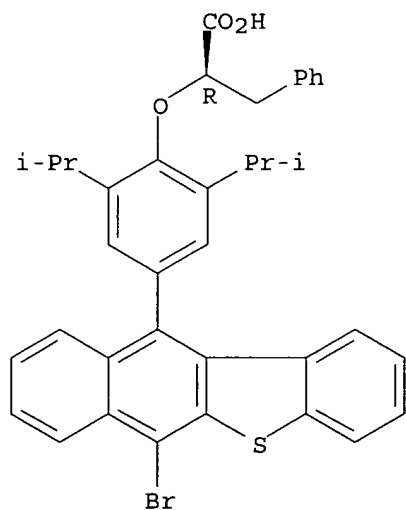




RN 250350-77-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-2,6-bis(1-methylethyl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

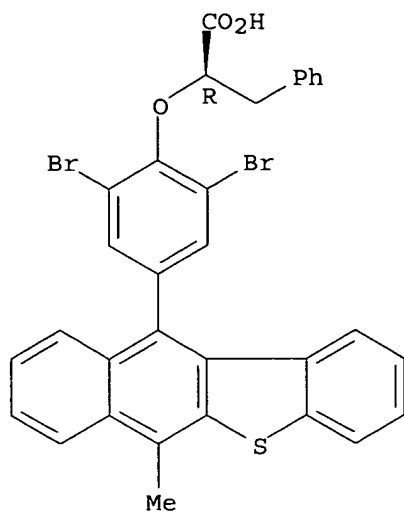
Absolute stereochemistry.



RN 250350-79-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-methylbenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

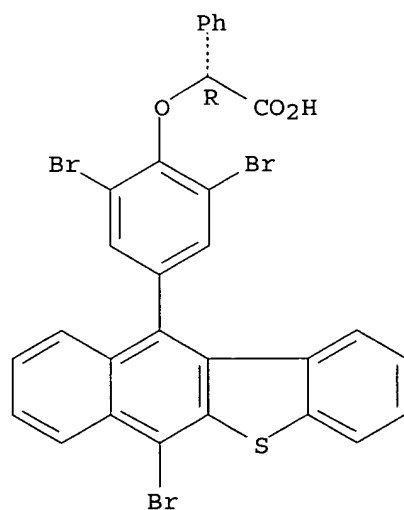
Absolute stereochemistry. Rotation (+).



RN 250350-80-2 CAPLUS

CN Benzeneacetic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αR)- (9CI) (CA INDEX NAME)

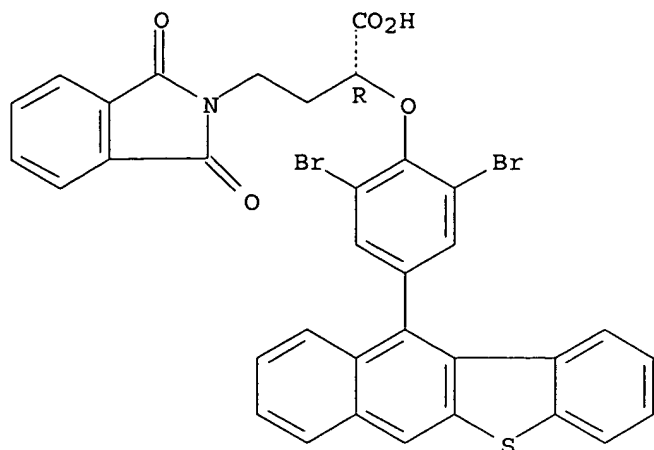
Absolute stereochemistry.



RN 250350-84-6 CAPLUS

CN 2H-Isoindole-2-butanoic acid, α-(4-benzo[b]naphtho[2,3-d]thien-11-yl-2,6-dibromophenoxy)-1,3-dihydro-1,3-dioxo-, (αR)- (9CI) (CA INDEX NAME)

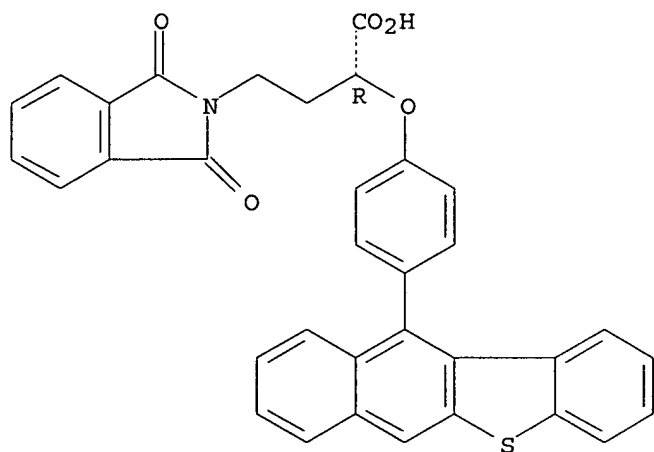
Absolute stereochemistry.



RN 250350-85-7 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-ylphenoxy)-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

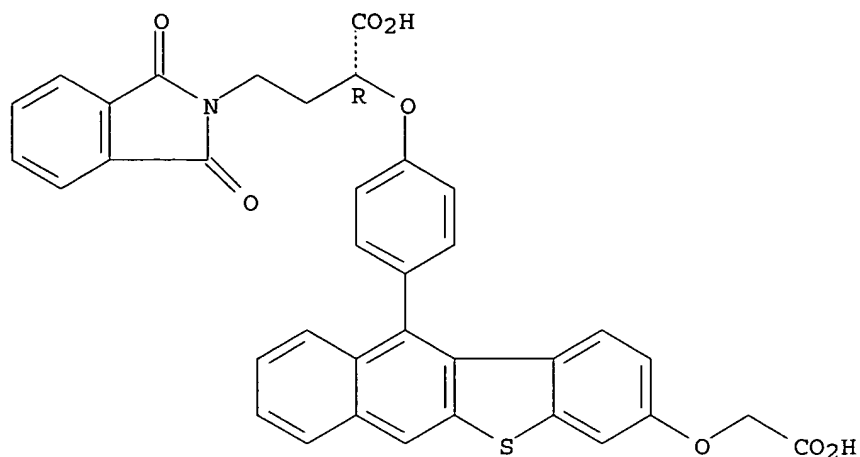
Absolute stereochemistry.



RN 250350-86-8 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[4-[3-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

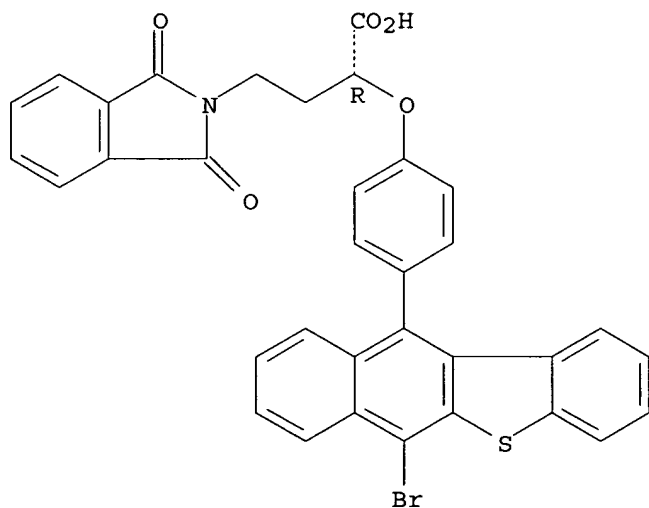
Absolute stereochemistry.



RN 250350-87-9 CAPLUS

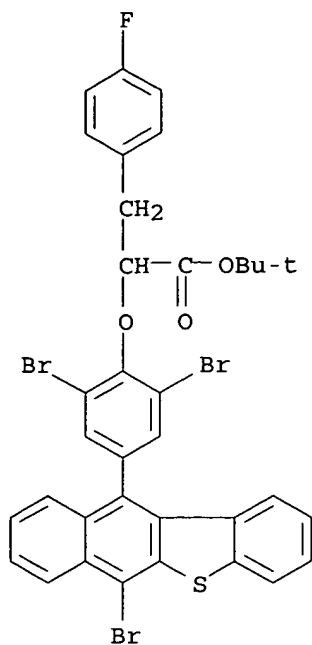
CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



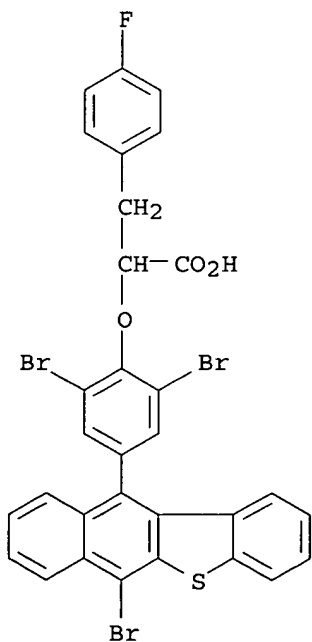
RN 250350-90-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-4-fluoro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



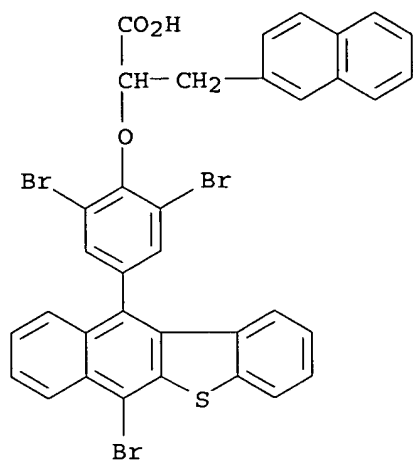
RN 250350-93-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-4-fluoro- (9CI) (CA INDEX NAME)



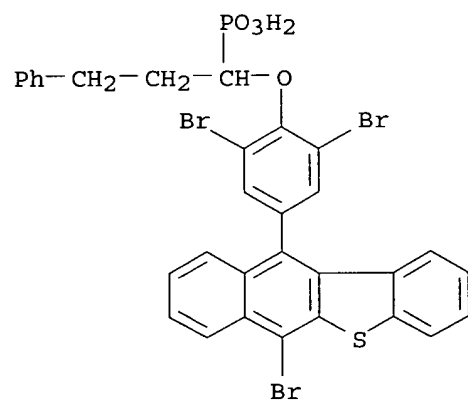
RN 250350-94-8 CAPLUS

CN 2-Naphthalenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 250350-99-3 CAPLUS

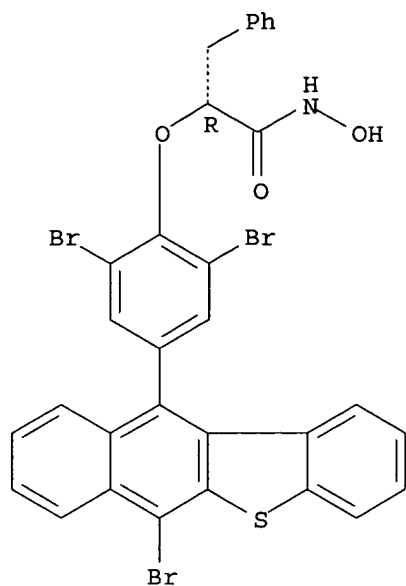
CN Phosphonic acid, [1-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-3-phenylpropyl]- (9CI) (CA INDEX NAME)



RN 250351-02-1 CAPLUS

CN Benzenepropanamide,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-N-hydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

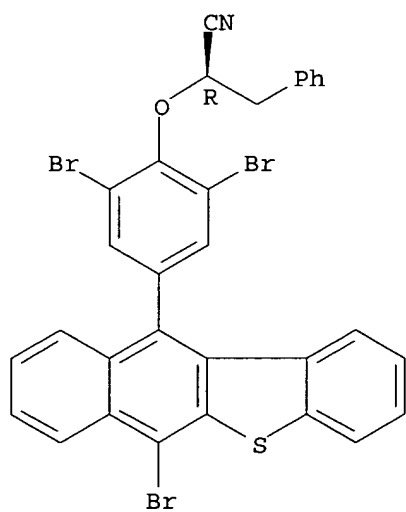
Absolute stereochemistry.



RN 250351-06-5 CAPLUS

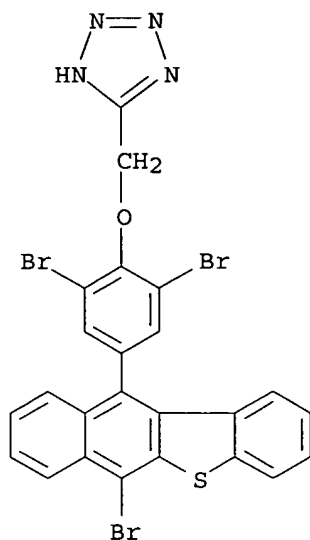
CN Benzenepropanenitrile, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250351-07-6 CAPLUS

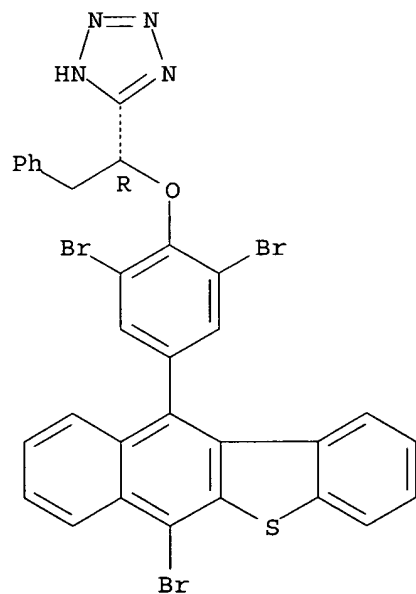
CN 1H-Tetrazole, 5-[[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 250351-09-8 CAPLUS

CN 1H-Tetrazole, 5-[(1R)-1-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-2-phenylethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

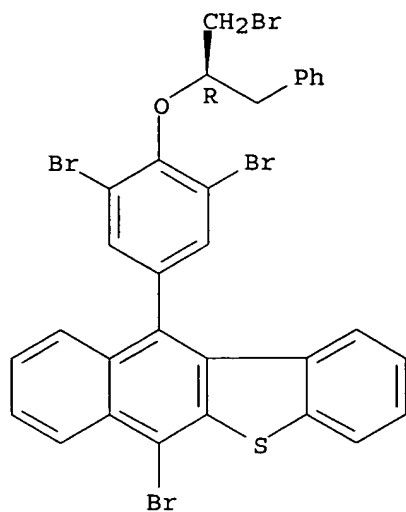


RN 250351-12-3 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene, 6-bromo-11-[3,5-dibromo-4-[(R)-1-(bromomethyl)-2-phenylethoxy]phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



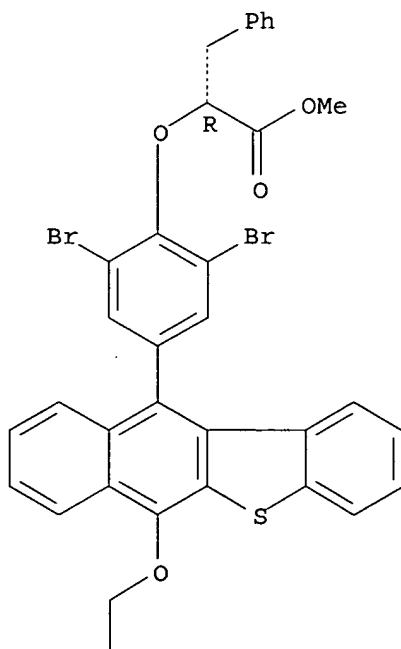


RN 250351-28-1 CAPLUS

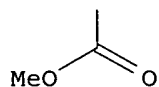
CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(2-methoxy-2-oxoethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



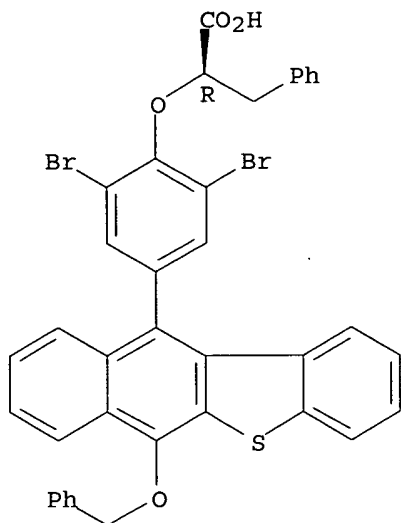
PAGE 2-A



RN 250351-30-5 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(phenylmethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)-(9CI) (CA INDEX NAME)

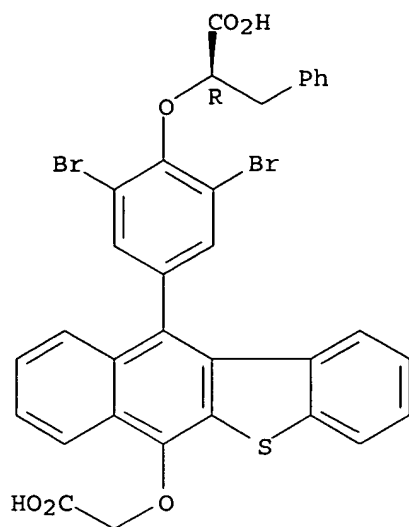
Absolute stereochemistry. Rotation (+).



RN 250351-32-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)-(9CI) (CA INDEX NAME)

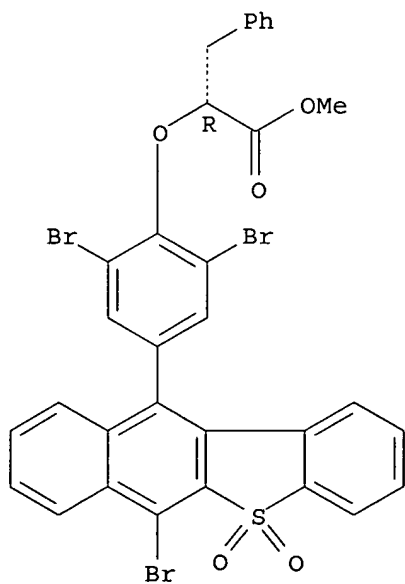
Absolute stereochemistry. Rotation (+).



RN 250351-36-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromo-5,5-dioxidobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

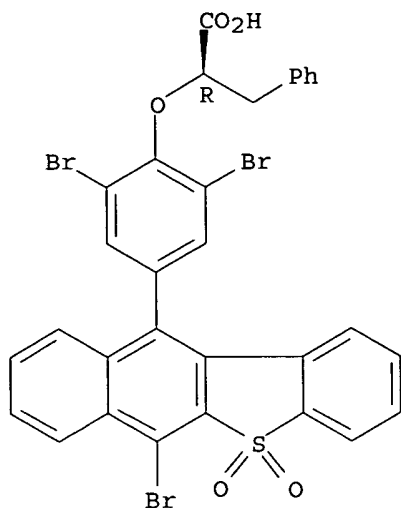
Absolute stereochemistry. Rotation (+).



RN 250351-37-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromo-5,5-dioxidobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

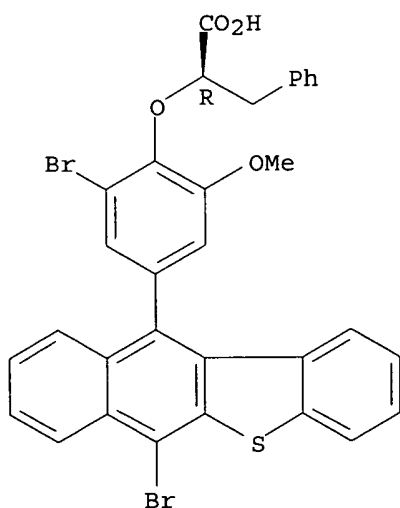
Absolute stereochemistry. Rotation (+).



RN 250351-43-0 CAPLUS

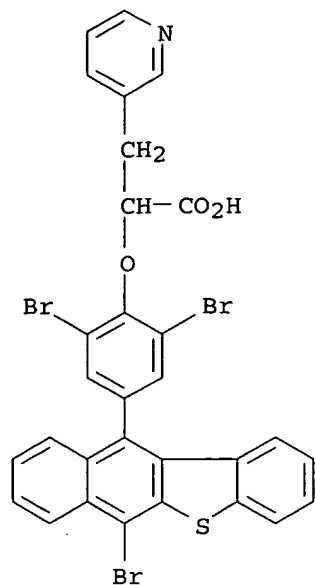
CN Benzenepropanoic acid, α-[2-bromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-6-methoxyphenoxy]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250351-91-8 CAPLUS

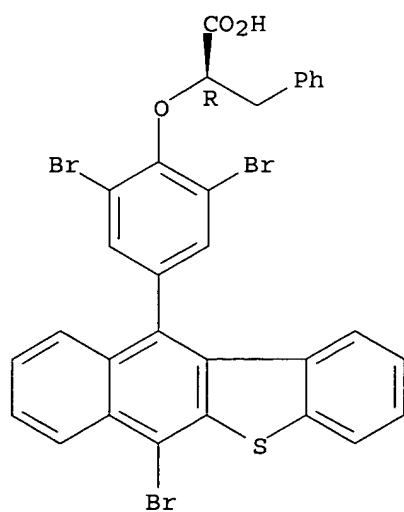
CN 3-Pyridinepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 250636-53-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, sodium salt, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

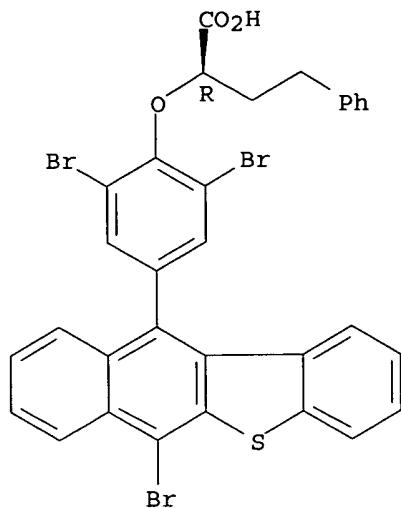


● Na

RN 289628-80-4 CAPLUS

CN Benzenebutanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

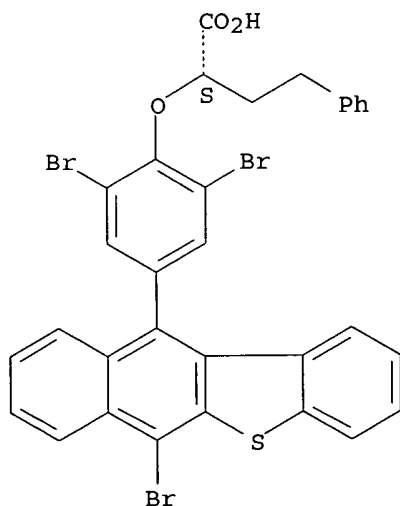
Absolute stereochemistry.



RN 289628-81-5 CAPLUS

CN Benzenebutanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

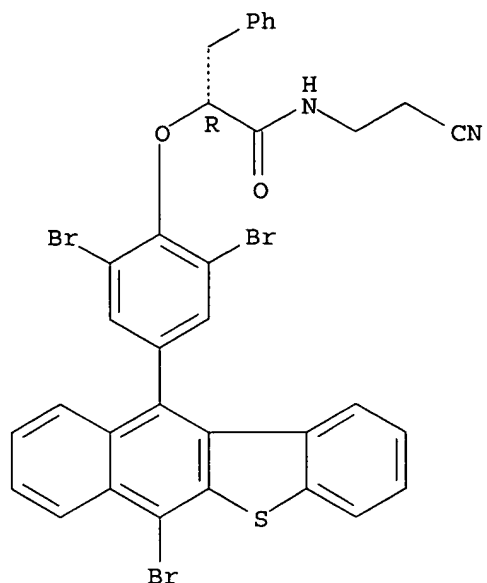
Absolute stereochemistry. Rotation (+).



RN 289628-83-7 CAPLUS

CN Benzenepropanamide, N-(2-cyanoethyl)- $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 250351-88-3 250351-89-4 250351-90-7

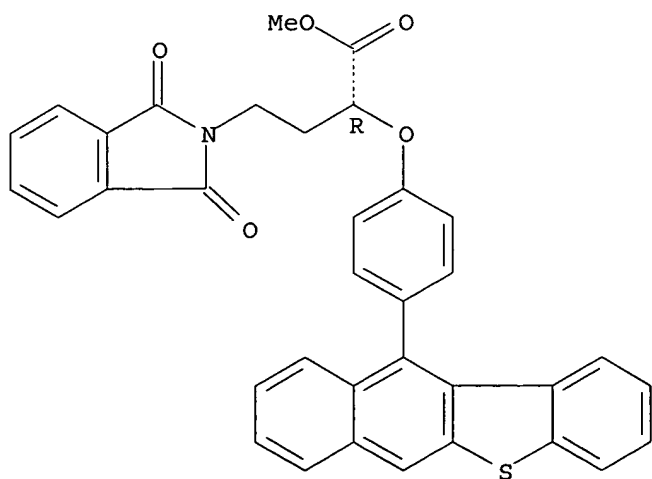
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and  
11-aryl-benzo[b]naphtho[2,3-d]thiophenes for treating insulin  
resistance and hyperglycemia)

RN 250351-88-3 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-ylphenoxy)-1,3-dihydro-1,3-dioxo-, methyl ester, ( $\alpha$ R)-(9CI) (CA INDEX NAME)

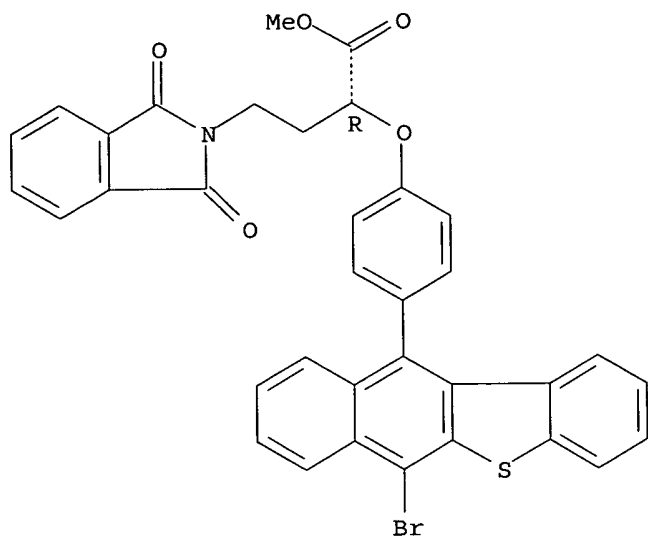
Absolute stereochemistry.



RN 250351-89-4 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, methyl ester, ( $\alpha$ R)-(9CI) (CA INDEX NAME)

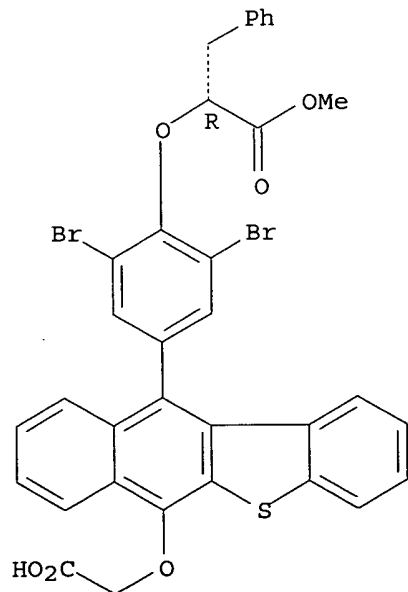
Absolute stereochemistry.



RN 250351-90-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, monomethyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 250351-75-8P 289628-85-9P

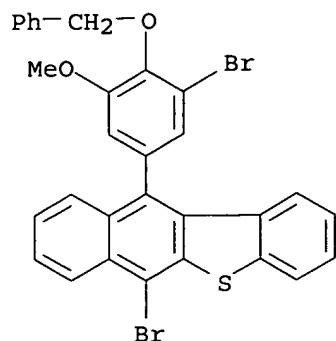
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes for treating insulin resistance and hyperglycemia)



RN 250351-75-8 CAPLUS

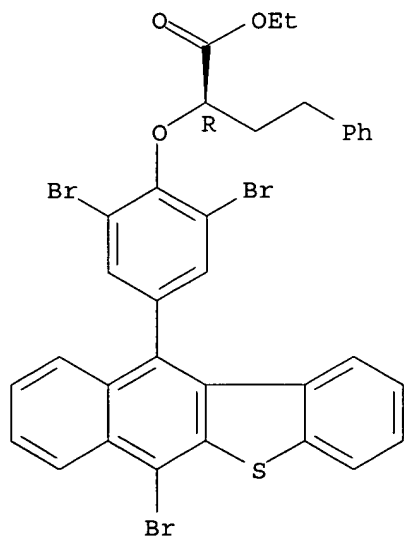
CN Benzo[b]naphtho[2,3-d]thiophene, 6-bromo-11-[3-bromo-5-methoxy-4-(phenylmethoxy)phenyl] - (9CI) (CA INDEX NAME)



RN 289628-85-9 CAPLUS

CN Benzenebutanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ethyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:496102 CAPLUS

DOCUMENT NUMBER: 133:266758

TITLE: Synthesis and PTP1B inhibition of novel 4-aryl-1-oxa-9-thiacyclopenta[b]fluorenes

AUTHOR(S): Wrobel, J.; Li, Z.; Sredy, J.; Sawicki, D. R.; Seestaller, L.; Sullivan, D.

CORPORATE SOURCE: Wyeth-Ayerst Research, Inc., Princeton, NJ, 08543-8000, USA

SOURCE: Bioorganic &amp; Medicinal Chemistry Letters (2000),

PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

IT 250350-69-7P, ( $\alpha$ R)- $\alpha$ -(4-Benzo[b]naphtho[2,3-d]thien-11-yl-2,6-diiodophenoxy)benzenepropanoic acid

(preparation and tyrosine phosphatase-inhibiting (antidiabetic) activity of (aryl)oxathiacyclopenta[b]fluorenes)

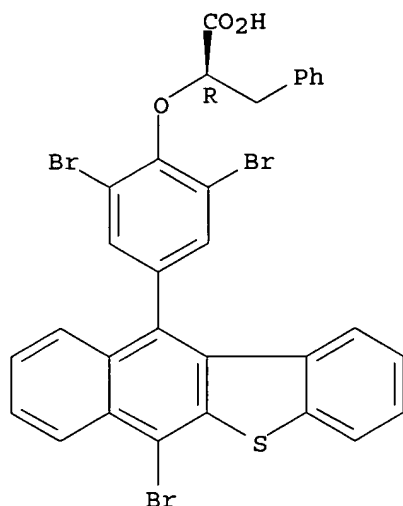
CN Benzenepropanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-yl-2,6-diiodophenoxy)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Chemical structure of compound 10: A fluorene derivative with a 2,6-diiodo-4-(1-phenylethoxy)phenyl group at the 9-position. The ethoxy group is (S)-1-phenylethoxy, with the chiral center indicated by a wedge bond to the CO<sub>2</sub>H group.

CORPORATE SOURCE: Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Company, USA

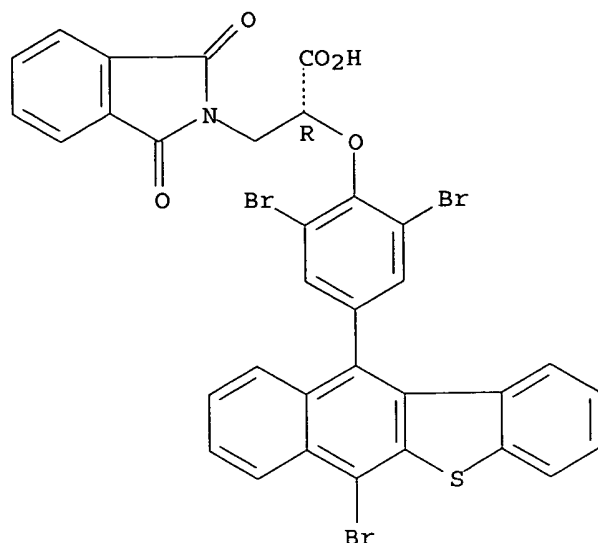
SOURCE: Chemtracts (2000), 13(4), 259-264  
 CODEN: CHEMFW; ISSN: 1431-9268  
 PUBLISHER: Springer-Verlag New York Inc.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB The title research of J. Wrobel et al. (1999) is reviewed with commentary and 3 refs.  
 IT 245359-81-3P 280563-81-7P  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (antihyperglycemic and PTP1B-inhibiting SAR in the ob/ob mouse model of novel arylbenzonaphthofurans and arylbenzonaphthothiophenes)  
 RN 245359-81-3 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 280563-81-7 CAPLUS  
 CN 2H-Isoindole-2-propanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:736689 CAPLUS

DOCUMENT NUMBER: 131:351227

TITLE: Preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes useful in the treatment of insulin resistance and hyperglycemia

INVENTOR(S): Wrobel, Jay Edward; Dietrich, Arlene Joan; Li, Zenan

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: PCT Int. Appl., 209 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

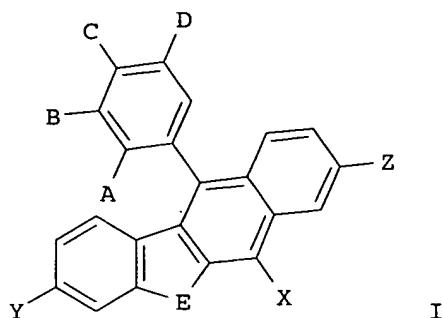
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958521	A1	19991118	WO 1999-US10185	19990510
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2330623	AA	19991118	CA 1999-2330623	19990510
AU 9939791	A1	19991129	AU 1999-39791	19990510
EP 1077970	A1	20010228	EP 1999-922897	19990510
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
JP 2002514638	T2	20020521	JP 2000-548325	19990510
PRIORITY APPLN. INFO.:			US 1998-76592	A 19980512
			WO 1999-US10185	W 19990510

OTHER SOURCE(S) : MARPAT 131:351227  
GI



AB The title compds. [I; A = H, halo, OH; B, D = H, halo, CN, etc.; E = S, SO, SO<sub>2</sub>, O; X = H, halo, alkyl, etc.; Y, Z = H, OR<sub>2</sub>; R<sub>2</sub> = H, alkyl, aralkyl, etc.; C = H, halo, OR<sub>4</sub>; R<sub>4</sub> = H, alkyl, 5-thiazolidine-2,4-dione, etc.] and their pharmaceutically acceptable salts, which are useful in treating metabolic disorders related to insulin resistance or hyperglycemia, were prepared. Thus, treatment of 4-benzo[b]naphtho[2,3-d]thiophen-11-ylphenol and KOAc in AcOH with a solution of Br<sub>2</sub> in glacial AcOH afforded I [E = S; Y = Z = H; X = Br; A = H; B = D = Br; C = OH] which showed IC<sub>50</sub> of 0.384  $\mu$ M against human recombinant PTP1B.

IT 245359-81-3P 250350-47-1P 250350-48-2P  
250350-50-6P 250350-83-5P 250350-92-6P  
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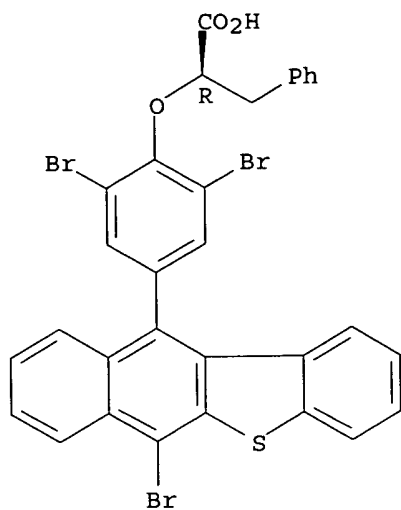
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes useful in the treatment of insulin resistance and hyperglycemia)

RN 245359-81-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

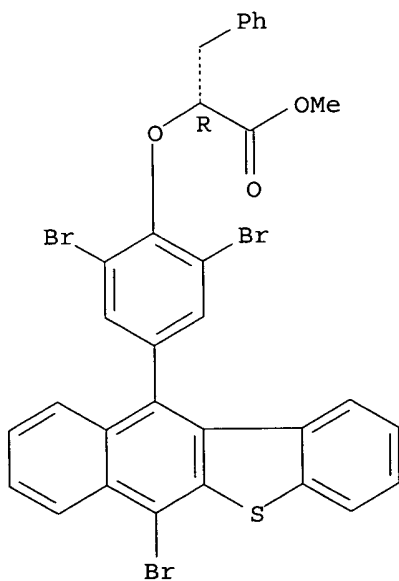
Absolute stereochemistry. Rotation (+).



RN 250350-47-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

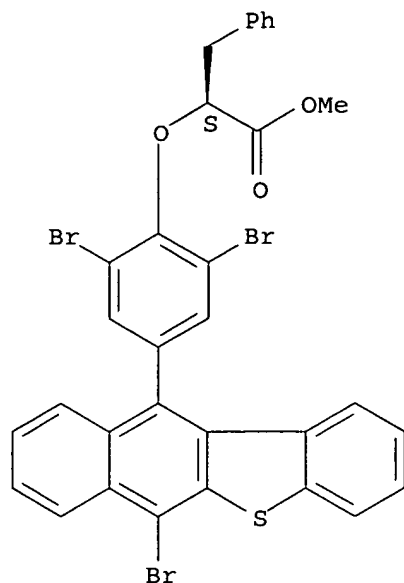
Absolute stereochemistry.



RN 250350-48-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

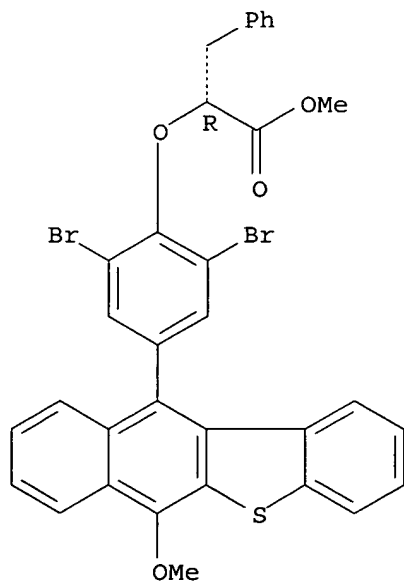
Absolute stereochemistry. Rotation (-).



RN 250350-50-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-methoxybenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

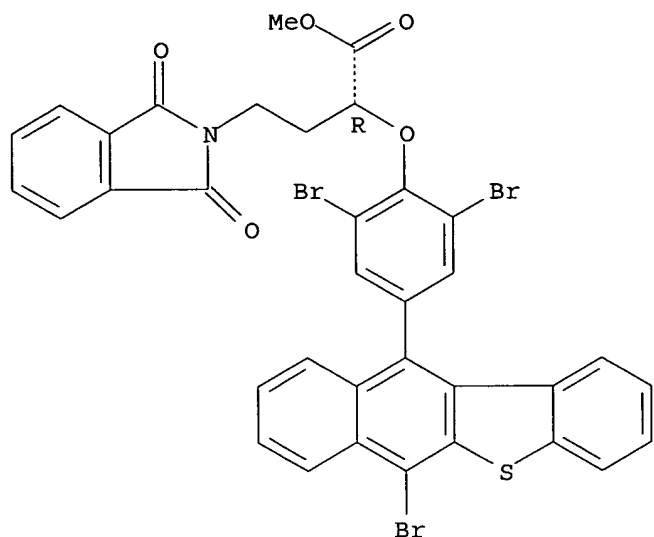
Absolute stereochemistry.



RN 250350-83-5 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, methyl ester, ( $\alpha R$ )- (9CI) (CA INDEX NAME)

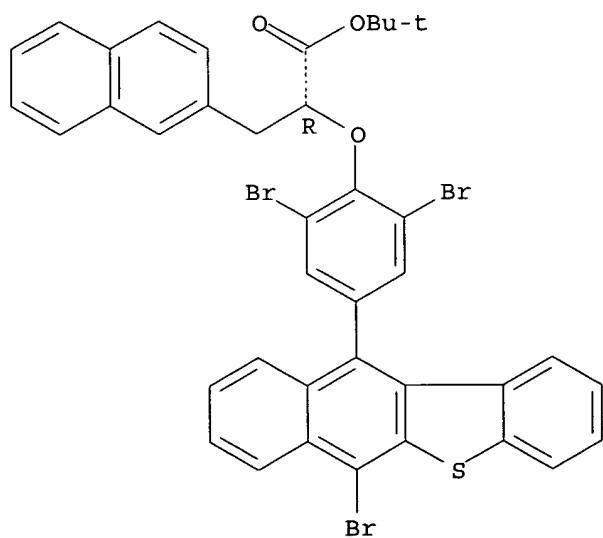
Absolute stereochemistry.



RN 250350-92-6 CAPLUS

CN 2-Naphthalenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, 1,1-dimethylethyl ester, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

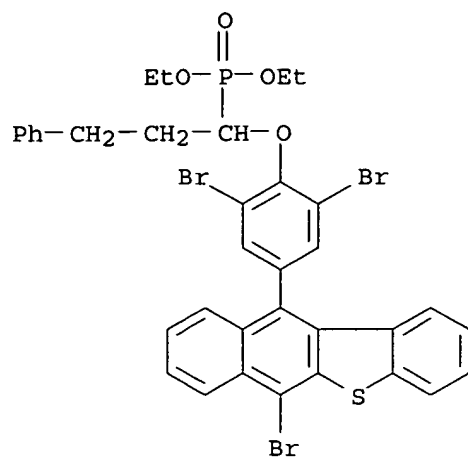
Absolute stereochemistry.



RN 250350-97-1 CAPLUS

CN Phosphonic acid, [1-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-3-phenylpropyl]-, diethyl ester (9CI) (CA INDEX NAME)

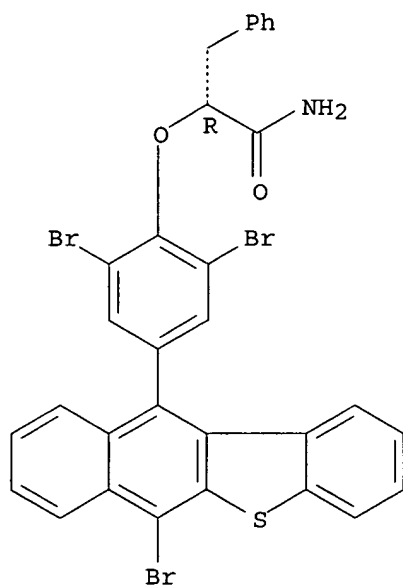




RN 250351-01-0 CAPLUS

CN Benzenepropanamide,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

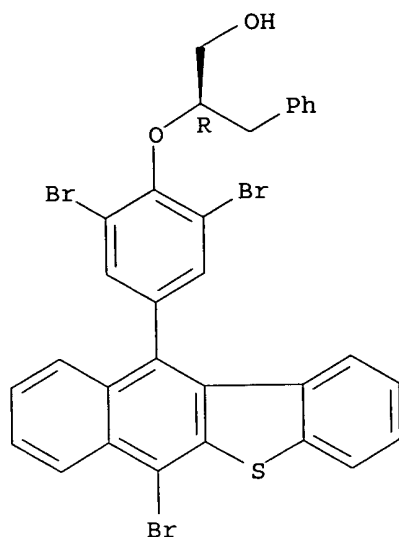
Absolute stereochemistry.



RN 250351-10-1 CAPLUS

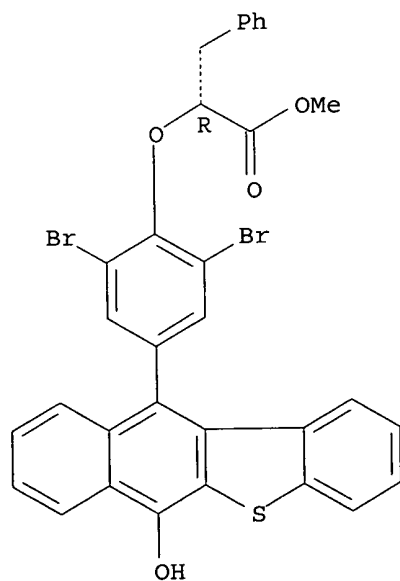
CN Benzenepropanol,  $\beta$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250351-24-7 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-hydroxybenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

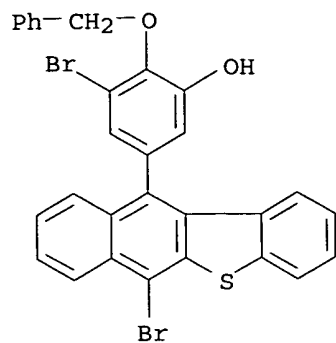
Absolute stereochemistry.



RN 250351-26-9 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(phenylmethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





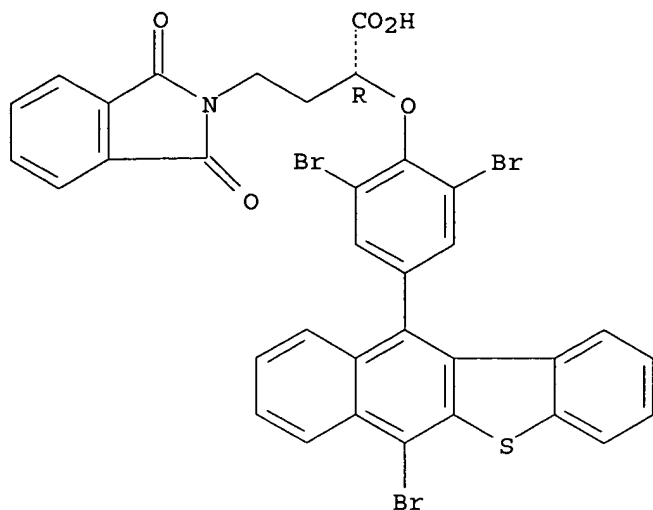
IT 245359-83-5P 250350-49-3P 250350-51-7P  
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 250350-58-4P 250350-60-8P 250350-62-0P  
 250350-63-1P 250350-64-2P 250350-65-3P  
 250350-66-4P 250350-68-6P 250350-69-7P  
 250350-71-1P 250350-72-2P 250350-74-4P  
 250350-75-5P 250350-76-6P 250350-77-7P  
 250350-79-9P 250350-80-2P 250350-84-6P  
 250350-85-7P 250350-86-8P 250350-87-9P  
 250350-90-4P 250350-93-7P 250350-94-8P  
 250350-99-3P 250351-02-1P 250351-03-2P  
 250351-06-5P 250351-07-6P 250351-09-8P  
 250351-12-3P 250351-28-1P 250351-30-5P  
 250351-32-7P 250351-37-2P 250351-43-0P  
 250351-91-8P 250636-53-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes useful in the treatment of insulin resistance and hyperglycemia)

RN 245359-83-5 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

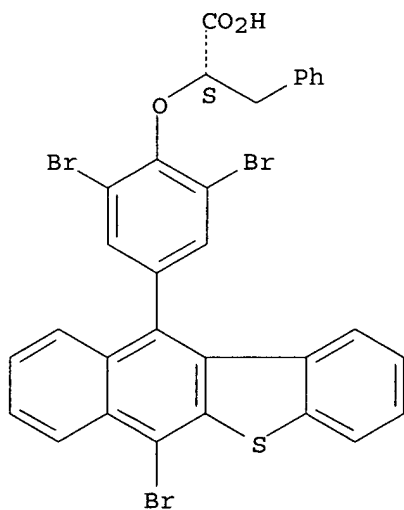
Absolute stereochemistry.



RN 250350-49-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

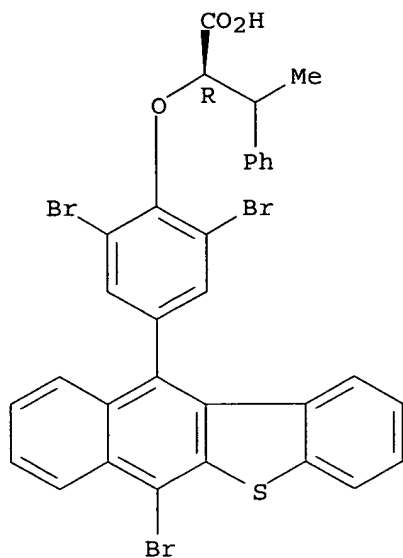
Absolute stereochemistry. Rotation (-).



RN 250350-51-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- $\beta$ -methyl-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

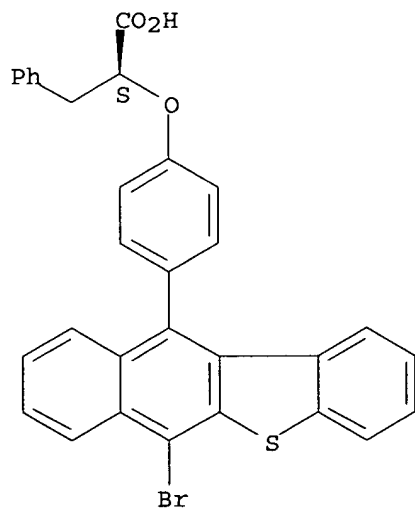
Absolute stereochemistry.



RN 250350-52-8 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

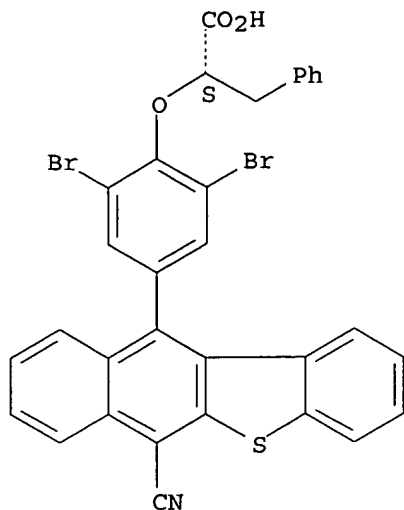
Absolute stereochemistry. Rotation (+).



RN 250350-53-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-cyanobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

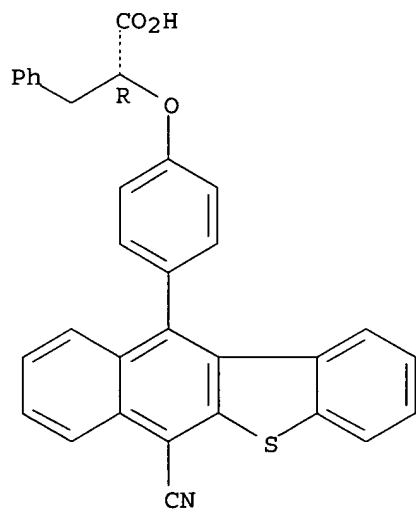
Absolute stereochemistry.



RN 250350-54-0 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-(6-cyanobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

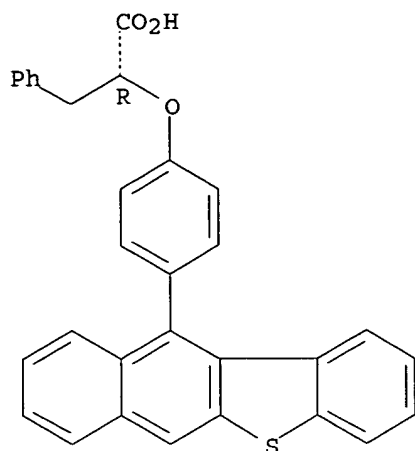
Absolute stereochemistry. Rotation (-).



RN 250350-55-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-ylphenoxy)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

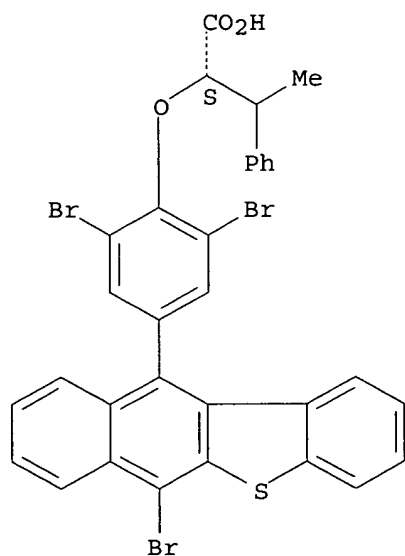
Absolute stereochemistry. Rotation (-).



RN 250350-56-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- $\beta$ -methyl-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

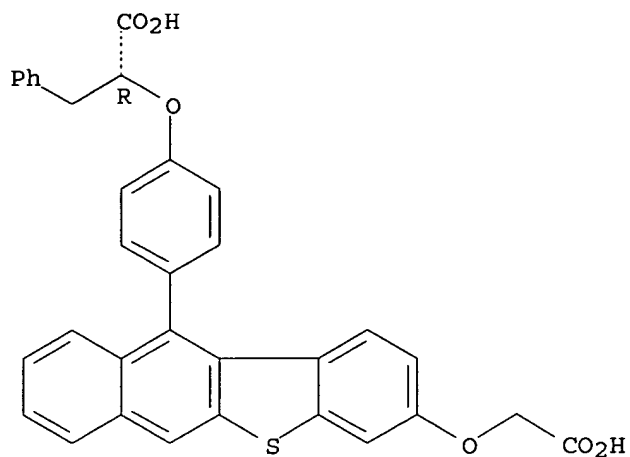


RN 250350-57-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-[3-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

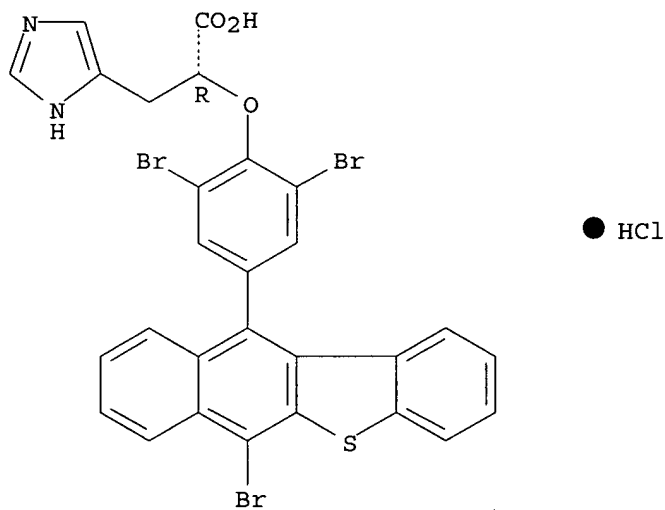




RN 250350-58-4 CAPLUS

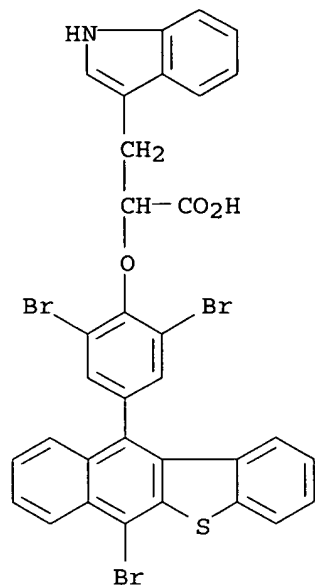
CN 1H-Indazole-4-propanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, monohydrochloride, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250350-60-8 CAPLUS

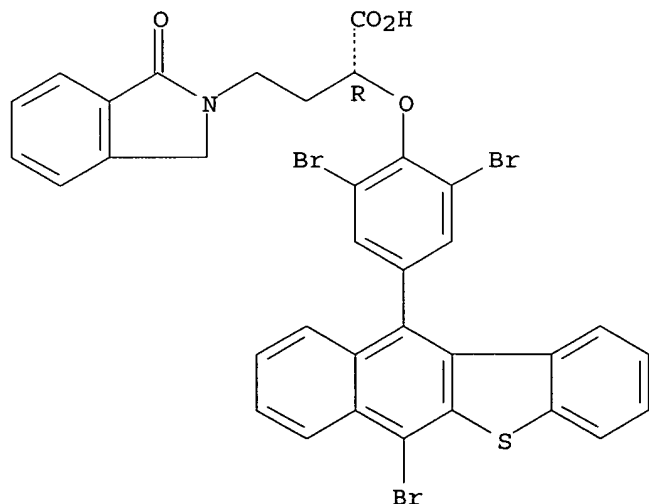
CN 1H-Indole-3-propanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 250350-62-0 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1-oxo-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

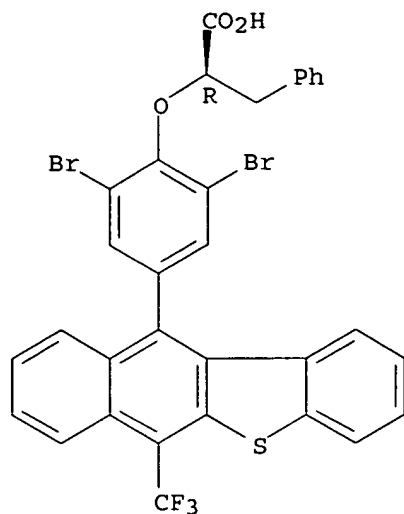
Absolute stereochemistry.



RN 250350-63-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(trifluoromethyl)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

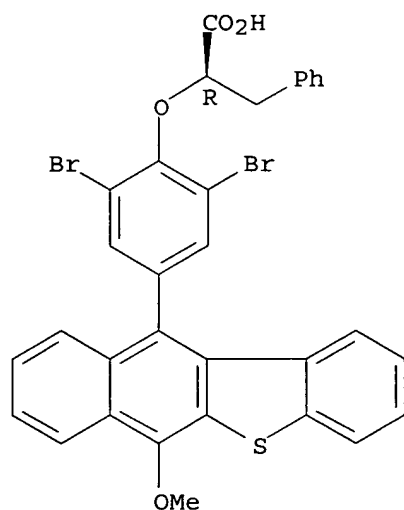
Absolute stereochemistry. Rotation (+).



RN 250350-64-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-methoxybenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

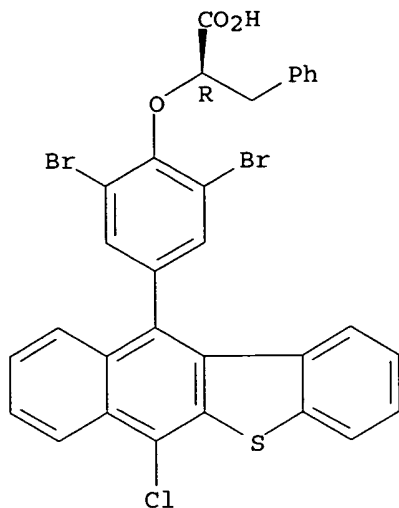
Absolute stereochemistry.



RN 250350-65-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-chlorobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

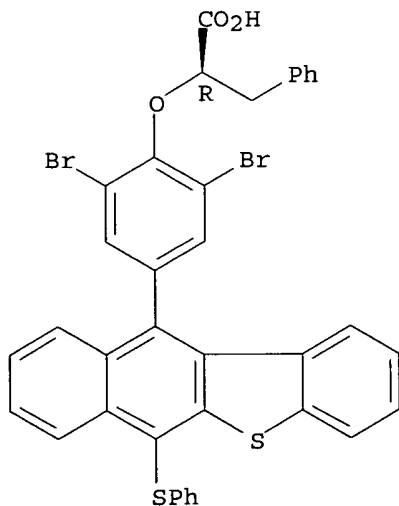
Absolute stereochemistry. Rotation (+).



RN 250350-66-4 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-[6-(phenylthio)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, (αR)- (9CI)  
(CA INDEX NAME)

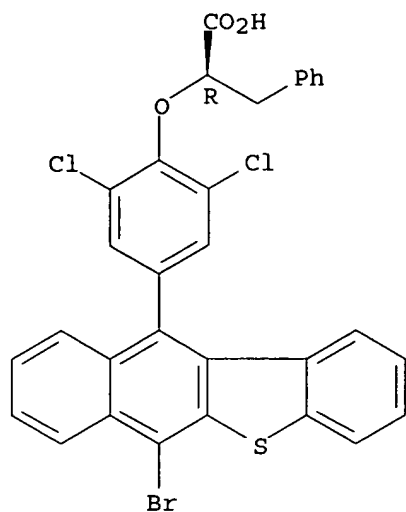
Absolute stereochemistry.



RN 250350-68-6 CAPLUS

CN Benzenepropanoic acid, α-[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-2,6-dichlorophenoxy]-, (αR)- (9CI) (CA INDEX NAME)

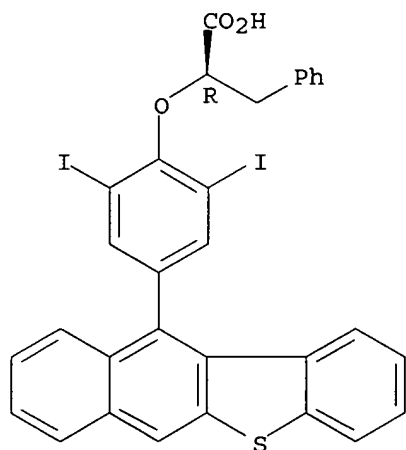
Absolute stereochemistry.



RN 250350-69-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-yl-2,6-diiodophenoxy)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

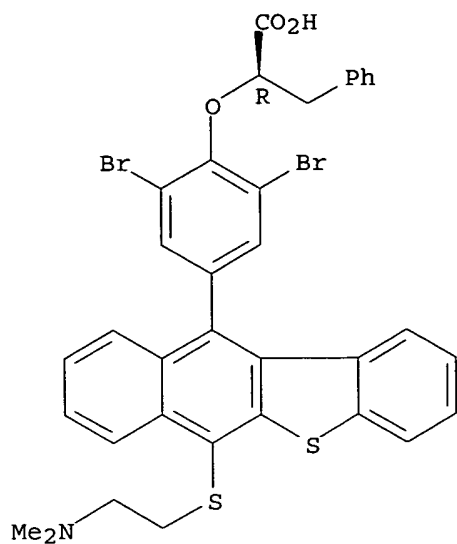
Absolute stereochemistry.



RN 250350-71-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-[[2-(dimethylamino)ethyl]thio]benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

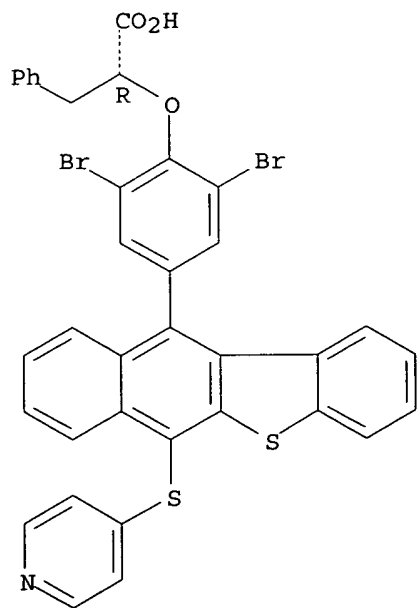
Absolute stereochemistry.



RN 250350-72-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(4-pyridinylthio)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

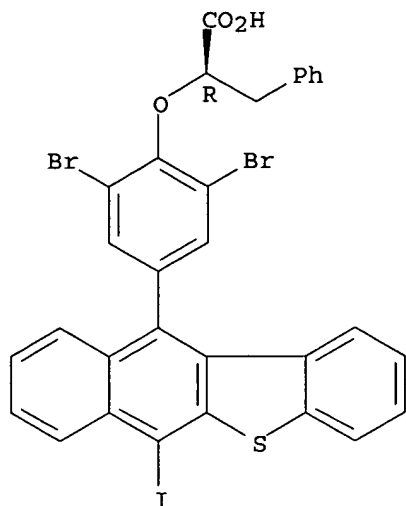
Absolute stereochemistry.



RN 250350-74-4 CAPLUS

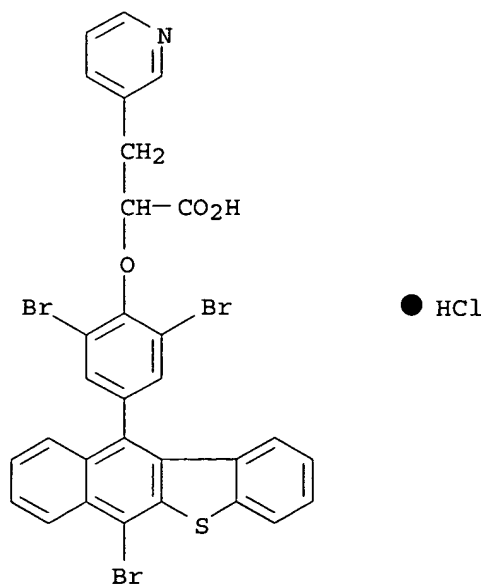
CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-iodobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 250350-75-5 CAPLUS

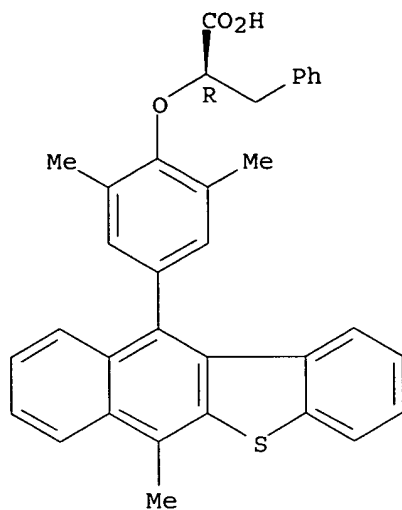
CN 3-Pyridinepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



RN 250350-76-6 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dimethyl-4-(6-methylbenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, (αR)- (9CI) (CA INDEX NAME)

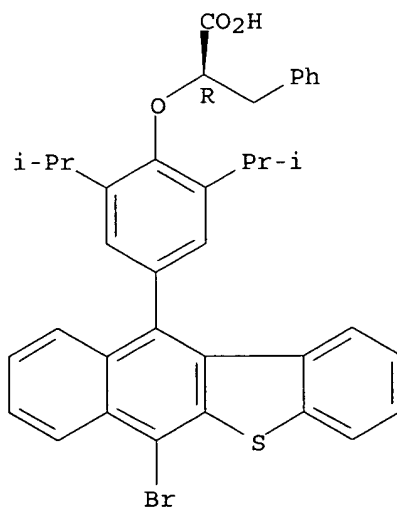
Absolute stereochemistry.



RN 250350-77-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-2,6-bis(1-methylethyl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

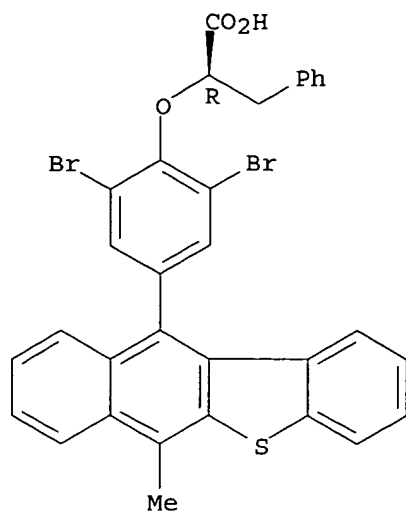


RN 250350-79-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-methylbenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

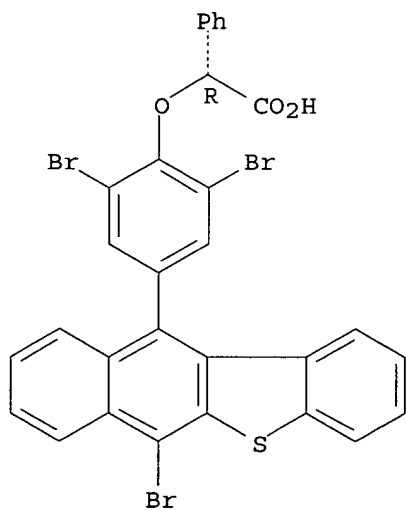




RN 250350-80-2 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

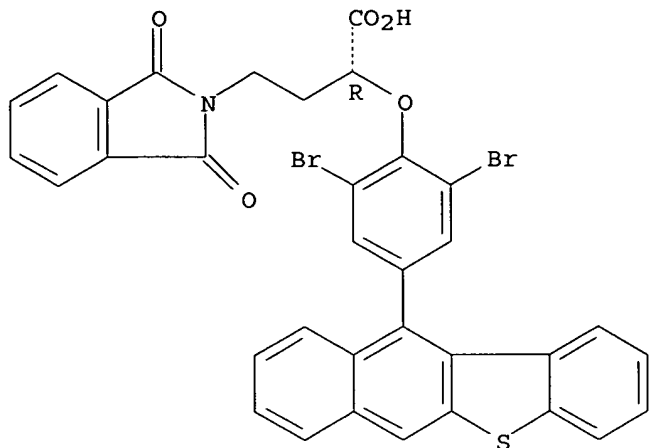
Absolute stereochemistry.



RN 250350-84-6 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-yl-2,6-dibromophenoxy)-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

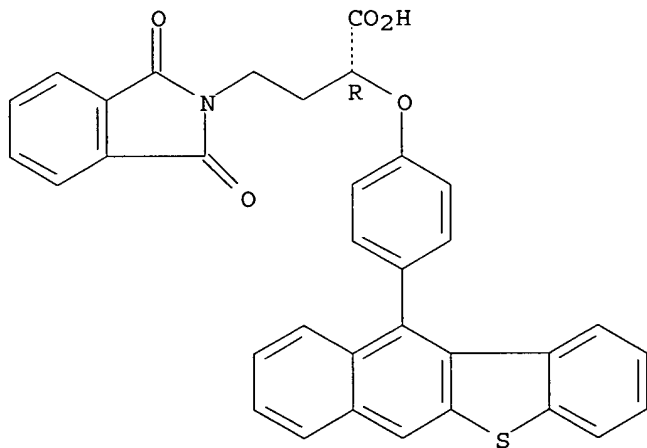
Absolute stereochemistry.



RN 250350-85-7 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-ylphenoxy)-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

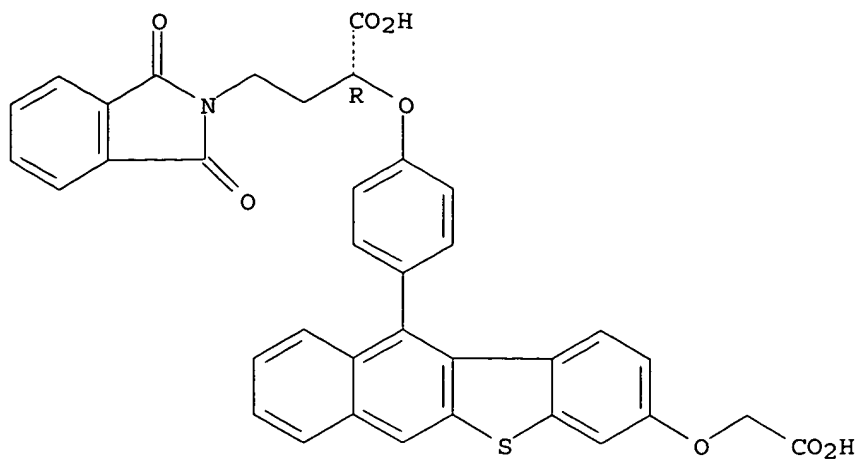
Absolute stereochemistry.



RN 250350-86-8 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[4-[3-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

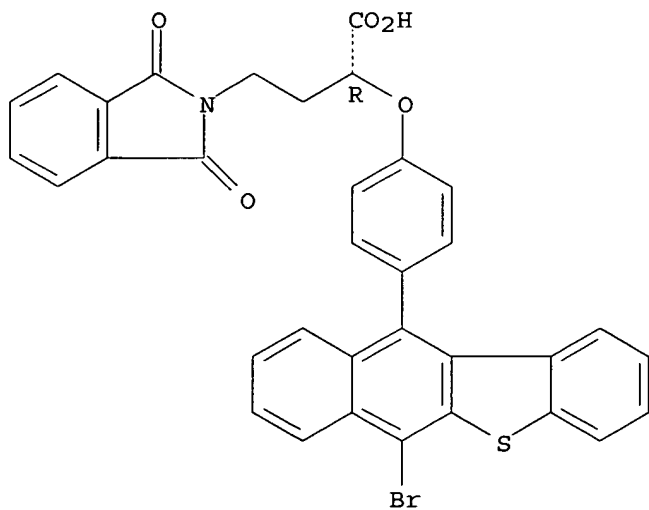
Absolute stereochemistry.



RN 250350-87-9 CAPLUS

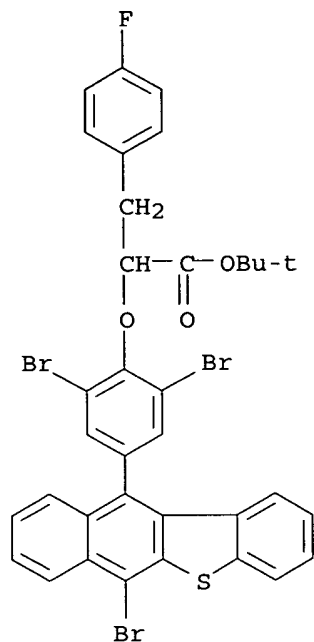
CN 2H-Isoindole-2-butanoic acid, α-[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



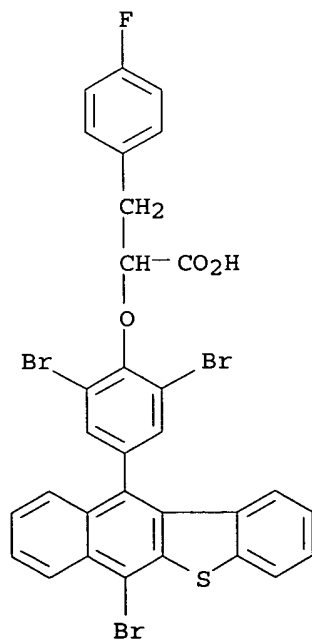
RN 250350-90-4 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



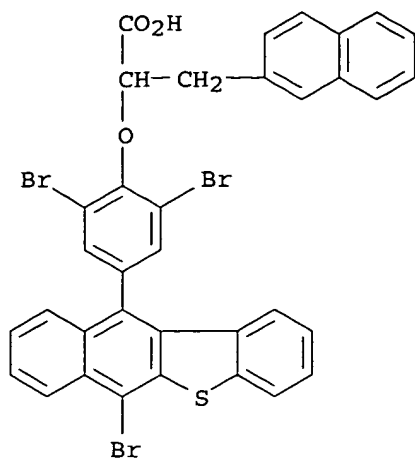
RN 250350-93-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-4-fluoro- (9CI) (CA INDEX NAME)



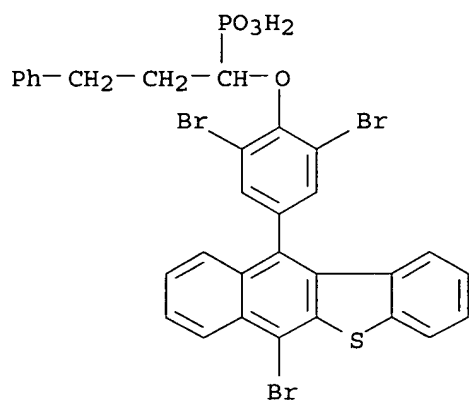
RN 250350-94-8 CAPLUS

CN 2-Naphthalenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 250350-99-3 CAPLUS

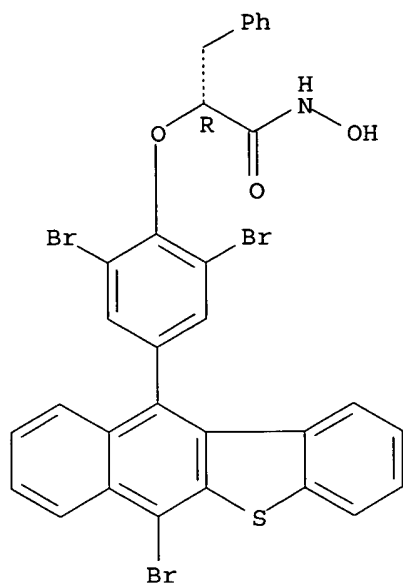
CN Phosphonic acid, [1-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-3-phenylpropyl]- (9CI) (CA INDEX NAME)



RN 250351-02-1 CAPLUS

CN Benzenepropanamide,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-N-hydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

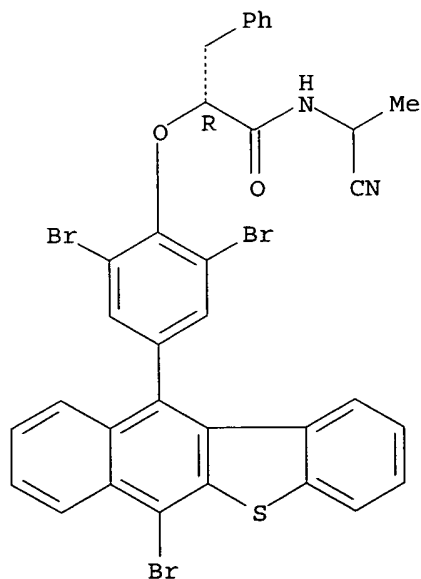
Absolute stereochemistry.



RN 250351-03-2 CAPLUS

CN Benzenepropanamide, N-(1-cyanoethyl)- $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

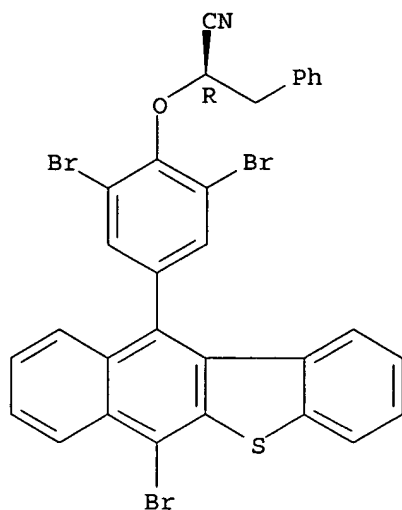
Absolute stereochemistry.



RN 250351-06-5 CAPLUS

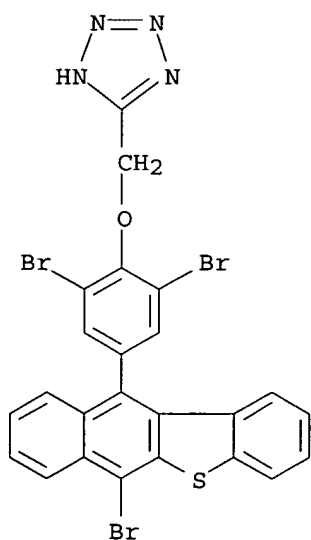
CN Benzenepropanenitrile,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250351-07-6 CAPLUS

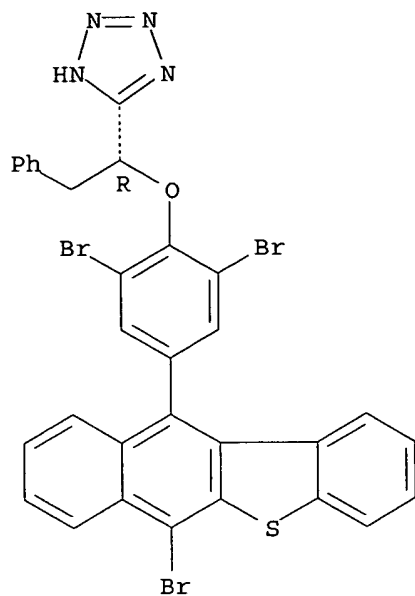
CN 1H-Tetrazole, 5-[[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 250351-09-8 CAPLUS

CN 1H-Tetrazole, 5-[(1R)-1-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-2-phenylethyl]- (9CI) (CA INDEX NAME)

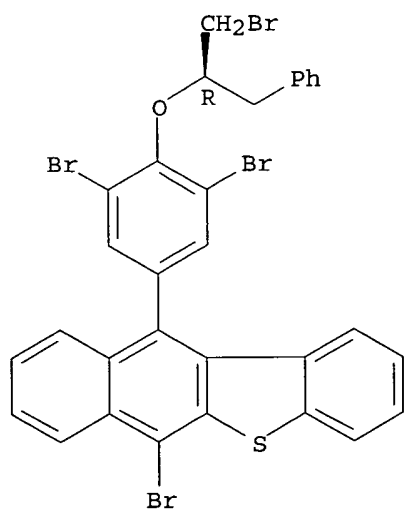
Absolute stereochemistry.



RN 250351-12-3 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene, 6-bromo-11-[3,5-dibromo-4-[(R)-1-(bromomethyl)-2-phenylethoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



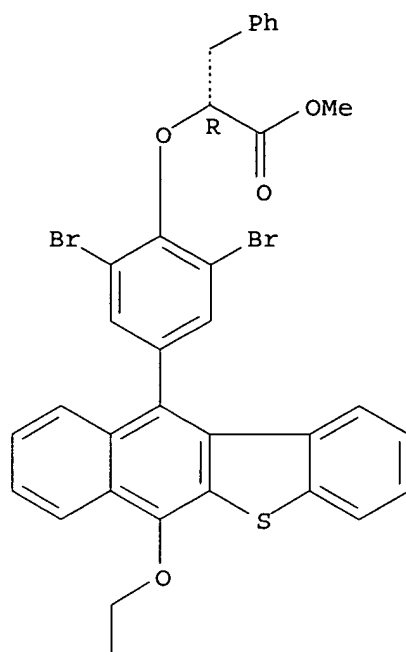
RN 250351-28-1 CAPLUS

CN Benzenepropanoic acid, α-[2,6-dibromo-4-[6-(2-methoxy-2-oxoethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

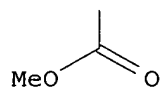
Absolute stereochemistry.



PAGE 1-A

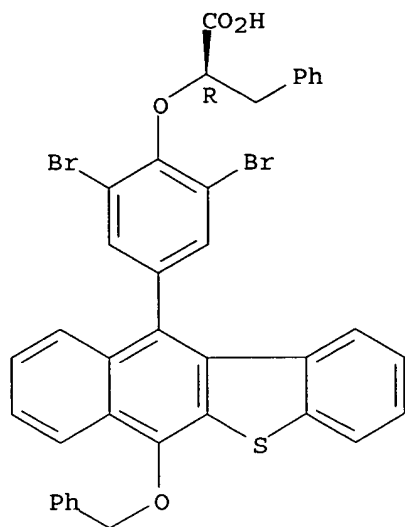


PAGE 2-A



RN 250351-30-5 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(phenylmethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R)-  
(9CI) (CA INDEX NAME)

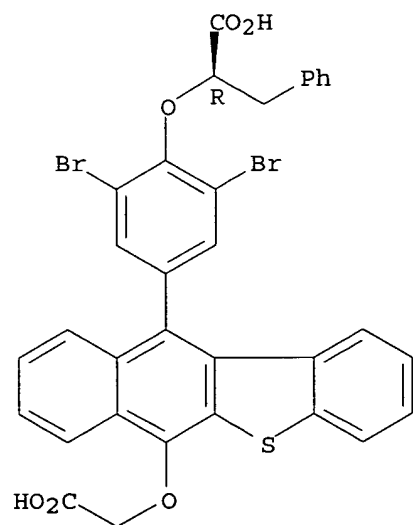
Absolute stereochemistry. Rotation (+).



RN 250351-32-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

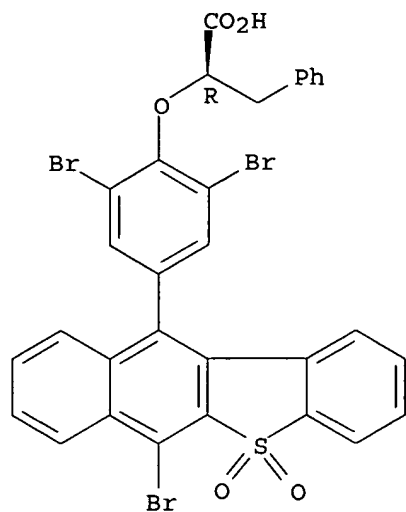
Absolute stereochemistry. Rotation (+).



RN 250351-37-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromo-5,5-dioxidobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

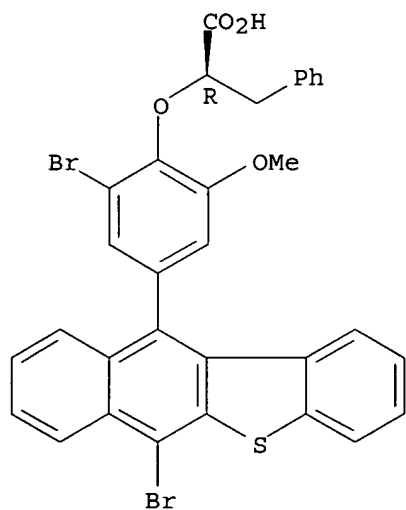
Absolute stereochemistry. Rotation (+).



RN 250351-43-0 CAPLUS

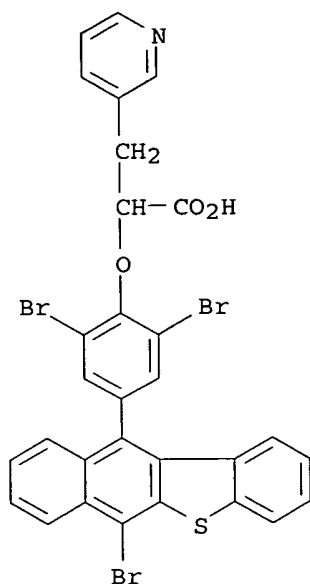
CN Benzenepropanoic acid, α-[2-bromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)-6-methoxyphenoxy]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250351-91-8 CAPLUS

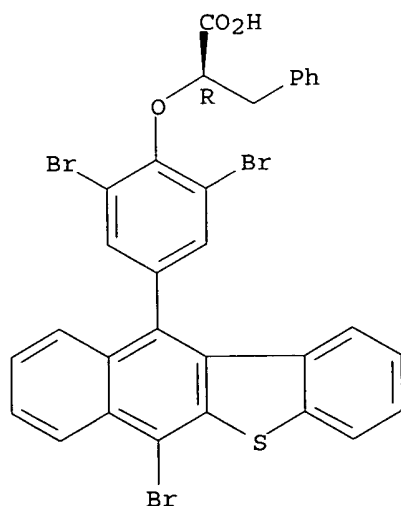
CN 3-Pyridinepropanoic acid, α-[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 250636-53-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, sodium salt, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● Na

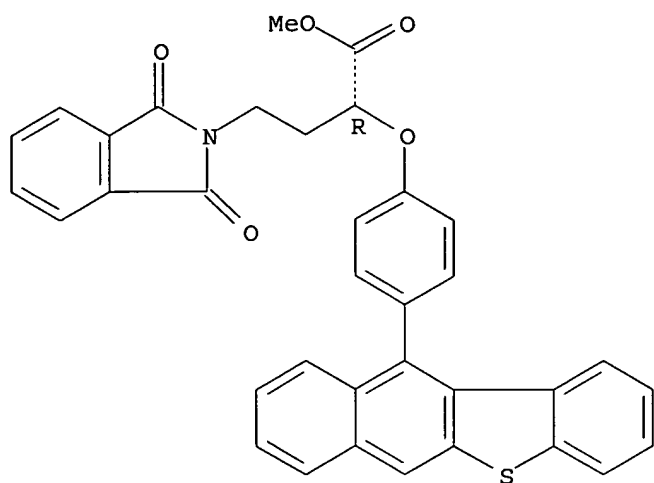
IT 250351-88-3 250351-89-4 250351-90-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and  
11-aryl-benzo[b]naphtho[2,3-d]thiophenes useful in the treatment of  
insulin resistance and hyperglycemia)

RN 250351-88-3 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -(4-benzo[b]naphtho[2,3-d]thien-11-ylphenoxy)-1,3-dihydro-1,3-dioxo-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

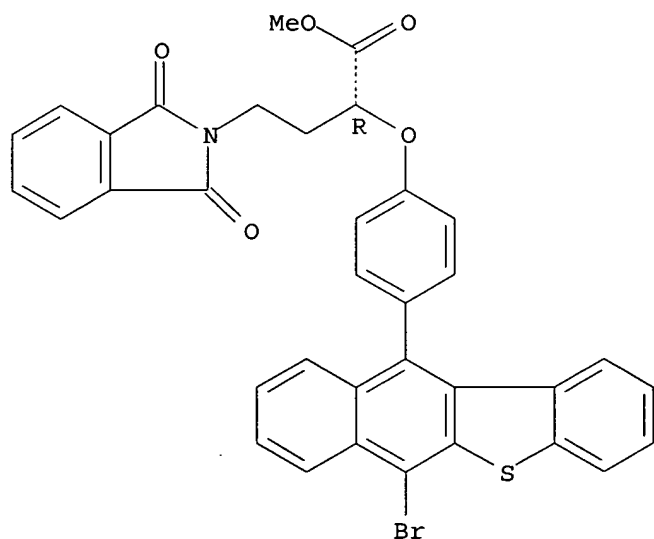
Absolute stereochemistry.



RN 250351-89-4 CAPLUS

CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, methyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

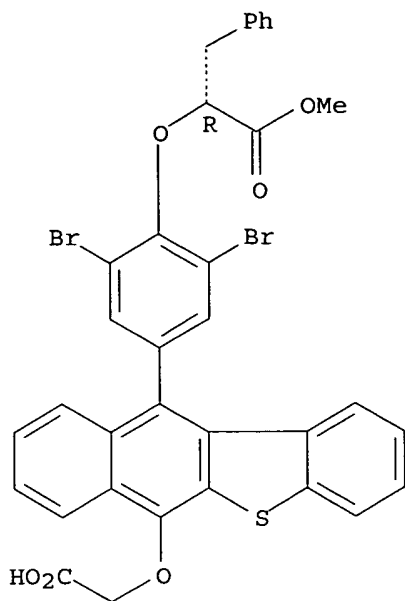
Absolute stereochemistry.



RN 250351-90-7 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-[6-(carboxymethoxy)benzo[b]naphtho[2,3-d]thien-11-yl]phenoxy]-, monomethyl ester, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



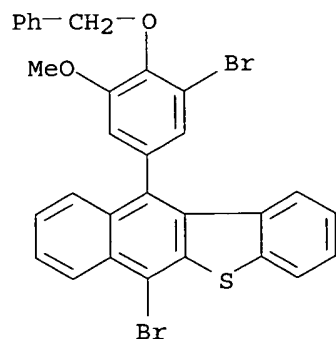
IT 250351-75-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 11-aryl-benzo[b]naphtho[2,3-d]furans and 11-aryl-benzo[b]naphtho[2,3-d]thiophenes useful in the treatment of insulin resistance and hyperglycemia)

RN 250351-75-8 CAPLUS

CN Benzo[b]naphtho[2,3-d]thiophene, 6-bromo-11-[3-bromo-5-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:499895 CAPLUS

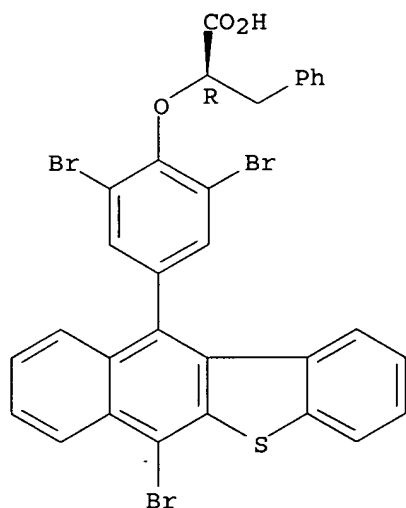
DOCUMENT NUMBER: 131:266554

TITLE: PTP1B Inhibition and Antihyperglycemic Activity in the ob/ob Mouse Model of Novel 11-Arylbenzo[b]naphtho[2,3-d]furans and 11-Arylbenzo[b]naphtho[2,3-d]thiophenes

AUTHOR(S): Wrobel, Jay; Sredy, Janet; Moxham, Christopher; Dietrich, Arlene; Li, Zenan; Sawicki, Diane R.;

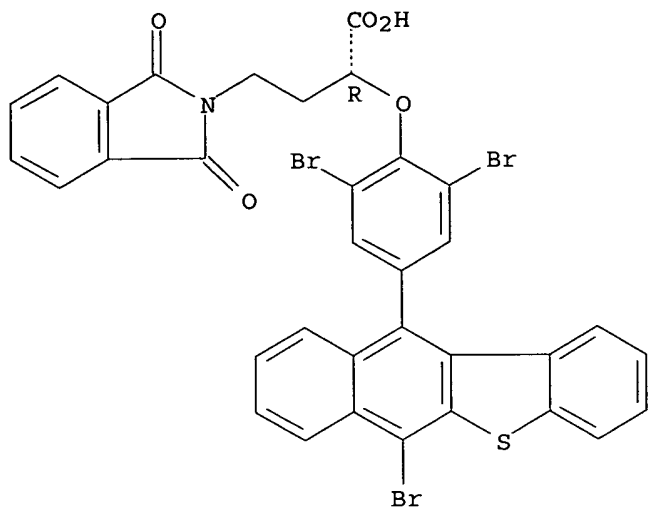
Seestaller, Laura; Wu, Li; Katz, Alan; Sullivan, Donald; Tio, Cesario; Zhang, Zhong-Yin  
 CORPORATE SOURCE: Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA  
 SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3199-3202  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB 6 Title compds. were prepared and 2 shown to be potent, selective PTPase inhibitors that function as oral antidiabetic agents. The 2 compds. were both low nanomolar inhibitors and lowered glucose in the diabetic ob/ob mouse at doses at or below 10 mg/kg/day, po.  
 IT 245359-81-3P 245359-83-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation, PTP1B inhibition and antihyperglycemic activity of 11-arylbenzo[b]naphtho[2,3-d]furans and 11-arylbenzo[b]naphtho[2,3-d]thiophenes)  
 RN 245359-81-3 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 245359-83-5 CAPLUS  
 CN 2H-Isoindole-2-butanoic acid,  $\alpha$ -[2,6-dibromo-4-(6-bromobenzo[b]naphtho[2,3-d]thien-11-yl)phenoxy]-1,3-dihydro-1,3-dioxo-, ( $\alpha$ R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d que 120

*Inventor Search*

L1

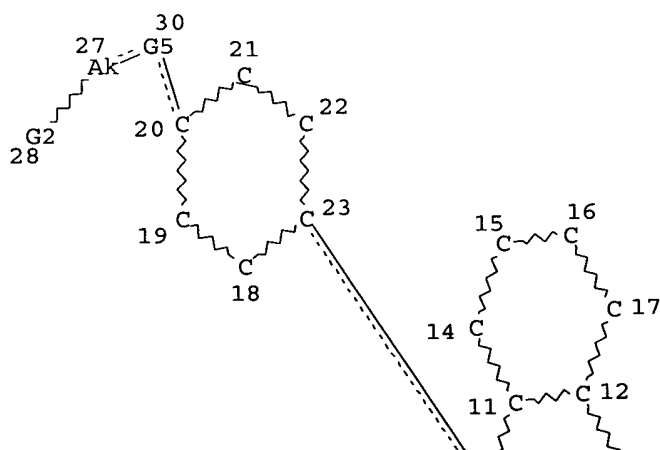
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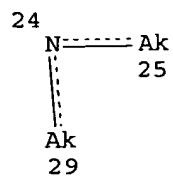
S 34

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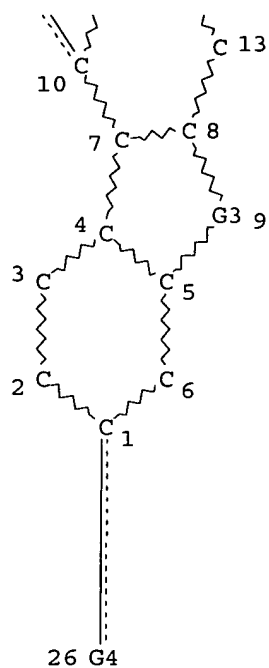
Page 1-A





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Page 1-B



Page 2-A

31 C~~~~~C 32

Page 2-B

VAR G2=33/24

VAR G3=34/31-8 32-5

VAR G4=35/36

VAR G5=37/38/39/40

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NSPEC	IS	R	AT	3

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DEFAULT ECLEVEL IS LIMITED

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## GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 40

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## STEREO ATTRIBUTES: NONE

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L13     40 SEA FILE=CAPLUS ABB=ON PLU=ON ("WALLACE O"/AU OR "WALLACE O
      B"/AU OR "WALLACE OWEN"/AU OR "WALLACE OWEN B"/AU OR "WALLACE
      OWEN BRENDAN"/AU OR "WALLACE OWEN BRENDON"/AU)
L14     2 SEA FILE=CAPLUS ABB=ON PLU=ON L13 AND L10
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L17     1 SEA FILE=CAPLUS ABB=ON PLU=ON L13 AND ANTHRAC?/OBI
L18     2 SEA FILE=CAPLUS ABB=ON PLU=ON (L16 OR L17)
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      RECEPTOR?/OBI
L20     15 SEA FILE=CAPLUS ABB=ON PLU=ON (L19 OR L18 OR L14)

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L20 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:26815 CAPLUS
DOCUMENT NUMBER: 144:163512
TITLE: A Selective Estrogen Receptor
      Modulator for the Treatment of Hot Flushes

```

AUTHOR(S) : Wallace, Owen B.; Lauwers, Kenneth S.;  
Dodge, Jeffrey A.; May, Scott A.; Calvin, Joel R.;  
Hinklin, Ronald; Bryant, Henry U.; Shetler, Pamela K.;  
Adrian, Mary D.; Geiser, Andrew G.; Sato, Masahiko;  
Burris, Thomas P.  
CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center,  
Eli Lilly and Company, Indianapolis, IN, 46285, USA  
SOURCE: Journal of Medicinal Chemistry (2006), 49(3), 843-846  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A selective estrogen receptor modulator (SERM) for the potential treatment of hot flushes is described. (R)-(+)-7,9-difluoro-5-[4-(2-piperidin-1-ylethoxy)phenyl]-5H-6-oxachrysen-2-ol, LSN2120310, potently binds ER $\alpha$  and ER $\beta$  and is an antagonist in MCF-7 breast adenocarcinoma and Ishikawa uterine cancer cell lines. The compound is a potent estrogen antagonist in the rat uterus. In ovariectomized rats, the compound lowers cholesterol, maintains bone mineral d., and is efficacious in a morphine dependent rat model of hot flush efficacy.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1055907 CAPLUS

DOCUMENT NUMBER: 143:415737

TITLE: A new selective **estrogen receptor** modulator with potent uterine antagonist activity, agonist activity in bone, and minimal ovarian stimulation

AUTHOR(S) : Geiser, Andrew G.; Hummel, Conrad W.; Draper, Michael W.; Henck, Judith W.; Cohen, Ilene R.; Rudmann, Daniel G.; Donnelly, Kevin B.; Adrian, Mary D.; Shepherd, Timothy A.; Wallace, Owen B.; McCann, Denis J.; Oldham, Samuel W.; Bryant, Henry U.; Sato, Masahiko; Dodge, Jeffrey A.

CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, IN, 46285, USA

SOURCE: Endocrinology (2005), 146(10), 4524-4535

CODEN: ENDOAO; ISSN: 0013-7227

PUBLISHER: Endocrine Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The use of selective estrogen receptor modulators for the treatment of estrogen-dependent diseases in premenopausal women has been hindered by undesirable ovarian stimulation and associated risks of ovarian cysts. The authors have identified a selective estrogen receptor modulator compound (LY2066948) that is a strong estrogen antagonist in the uterus yet has minimal effects on the ovaries of rats. LY2066948 binds with high affinity to both estrogen receptors and has potent estrogen antagonist activity in human uterine and breast cancer cells. Oral administration of LY2066948 to immature rats blocked uterine weight gain induced by ethynyl estradiol with an ED50 of 0.07 mg/kg. Studies in mature rats demonstrated that LY2066948 decreases uterine weight by 51% after 35 d treatment, confirming potent uterine antagonist activity over several estrus cycles. This strong uterine response contrasted with the minimal effects on the ovaries: serum estradiol levels remained within the normal range, whereas histol. evaluation showed granulosa cell hyperplasia in few of the rats. Bone studies demonstrated that LY2066948 prevented ovariectomy-induced

bone loss and treatment of ovary-intact rats caused no bone loss, confirming estrogen receptor agonist skeletal effects. Collectively, these data show that LY2066948 exhibits a tissue-specific profile consistent with strong antagonist activity in the uterus, agonist activity in bone, and minimal effects in the ovaries.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1051070 CAPLUS

DOCUMENT NUMBER: 143:359450

TITLE: A Selective **Estrogen Receptor**  
Modulator Designed for the Treatment of Uterine  
Leiomyoma with Unique Tissue Specificity for Uterus  
and Ovaries in Rats

AUTHOR(S): Hummel, Conrad W.; Geiser, Andrew G.; Bryant, Henry  
U.; Cohen, Ilene R.; Dally, Robert D.; Fong, Kin Chiu;  
Frank, Scott A.; Hinklin, Ronald; Jones, Scott A.;  
Lewis, George; McCann, Denis J.; Rudmann, Daniel G.;  
Shepherd, Timothy A.; Tian, Hongqi; **Wallace, Owen**  
**B.**; Wang, Minmin; Wang, Yong; Dodge, Jeffrey A.

CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company  
Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(22),  
6772-6775

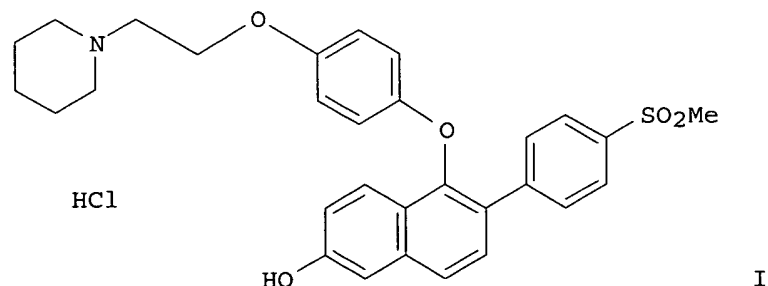
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The design of a novel selective estrogen receptor modulator (SERM) for the potential treatment of uterine leiomyoma is described. Compound (I, LY2066948-HCl) binds with high affinity to estrogen receptors  $\alpha$  and  $\beta$  (ER $\alpha$  and ER $\beta$ , resp.) and is a potent uterine antagonist with minimal effects on the ovaries as determined by serum biomarkers and histol. evaluation.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:732663 CAPLUS

DOCUMENT NUMBER: 143:193907

TITLE: Preparation of 5H-6-oxa-chrysene derivatives as selective **estrogen receptor** modulators

INVENTOR(S): Dodge, Jeffrey Alan; Hopkins, Randall Bruce; Wallace, Owen Brendan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 48 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

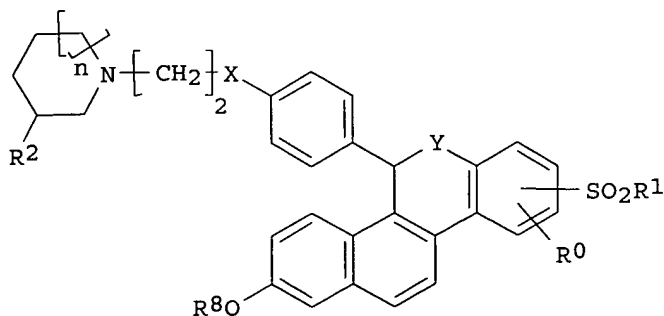
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073244	A1	20050811	WO 2005-US19	20050118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2004-538302P P 20040122

OTHER SOURCE(S): MARPAT 143:193907

GI



AB The present invention relates to a selective estrogen receptor modulators, I (n = independently 0,1,2; R8 = H, SO2-alkyl, COR3; R0 = OH, CF3, C1-6 alkyl, or C1-6 alkoxy; R1 = C1-6 alkyl, C1-6 alkoxy, amine CF3, CH2CF3; R2 = H, Me; X = O or substituted amine; Y = O or S), for treating endometriosis and uterine leiomyoma.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:732630 CAPLUS

DOCUMENT NUMBER: 143:211842

TITLE: Preparation of piperidine derivatives as selective  
**estrogen receptor** modulators for the  
treatment of vasomotor symptoms

INVENTOR(S): Dally, Robert Dean; Dodge, Jeffrey Alan; Frank, Scott  
Alan; Hinklin, Ronald Jay; Shepherd, Timothy Alan;  
**Wallace, Owen Brendan**

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 139 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073204	A1	20050811	WO 2005-US20	20050118
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2004-538342P P 20040122  
US 2004-538442P P 20040122

OTHER SOURCE(S): MARPAT 143:211842  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to selective estrogen receptor modulators (no data; shown as I; variables defined below; e.g. 1-[2-[4-[[2-(2,6-difluorophenyl)-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine (shown as II)) or pharmaceutical acid addition salts thereof useful for treating vasomotor symptoms, in particular hot flashes, night sweats and other symptoms that affect women around menopause. In a morphine withdrawal, rat hot flash model, representative I were tested  $\leq 30$  mg/kg PO and caused an attenuation of tail skin temperature increase, as measured by temperature change 15 min post naloxone injection or AUC over 45 min

post naloxone administration. For I: m = 0-2; n = 1-4; R is H or Me provided that if m is 1 or 2, then R must be H and that if m is 0, then R must be Me; R1 is H, SO<sub>2</sub>(n-C<sub>4</sub>-C<sub>6</sub> alkyl) or COR<sub>2</sub>; X is O or NR<sub>3</sub>; X1 is O, CH<sub>2</sub> or C=O; R6 is H or F or R6 combines with X1 to form III (Y is O, S, SO or NR<sub>4</sub>; e.g. 7,9-difluoro-5-[4-[2-(piperidin-1-yl)ethoxy]phenyl]-5H-6-oxachrysen-2-ol (shown as IV)); R2 is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, NR<sub>5</sub>R<sub>5a</sub>, phenoxy, or Ph (un)substituted with halo; R3 and R4 = H or C<sub>1</sub>-C<sub>6</sub> alkyl; and R5 and R5a = H, C<sub>1</sub>-C<sub>6</sub> alkyl or Ph. Although the methods of preparation are not claimed, .apprx.150 example prepsns. are included. For example, II was prepared (32 %) from trifluoromethanesulfonic acid 6-methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester (preparation given) and

(2,6-difluorophenyl)boronic acid in DMF using potassium phosphate and tetrakis(triphenylphosphine)palladium(0).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:729634 CAPLUS

DOCUMENT NUMBER: 143:186711

TITLE: Selective **estrogen receptor** modulators effective against endometriosis and uterine leiomyoma

INVENTOR(S): Dodge, Jeffrey Alan; Frank, Scott Alan; Hinklin, Ronald Jay; **Wallace, Owen Brendon**

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073190	A1	20050811	WO 2005-US18	20050118
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2004-539938P P 20040129

OTHER SOURCE(S): MARPAT 143:186711

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to selective estrogen receptor modulators (no data; shown as I-VII; variables defined below; e.g. VII (R11 = Me)) or a pharmaceutical salt thereof, useful, e.g., for treating endometriosis and uterine leiomyoma (no data). Methods of preparation are not claimed and no example preps. are included. For I: m is 1 or 2; R and R1 are OH or SO2R11 provided that one and only one of R or R1 must be and is SO2R11; R2 and R3 are OH, OCOCMe3 or SO2R11 provided that one and only one of R2 or R3 must be and is SO2R11; R4 and R5 are OH, OCH3 or SO2R11 provided that one and only one of R4 or R5 must be and is SO2R11; R6 is H, OH, OPO(OH)2, I or SO2R11 and R10 is H, CHMe2 or SO2R11 provided that one and only one of R6 or R10 must be and is SO2R11; R7 and R8 are both Me or combine with the N to which they are attached to form a pyrrolidiny ring; R9 is CH3 or CH2Cl; R11 is C1-C6 alkyl, C1-C6 alkoxy, NR12R13, CF3 or CH2CF3; X is CO or O; R12 is C1-C6 alkyl or phenyl; and R13 is H, C1-C6 alkyl or Ph.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

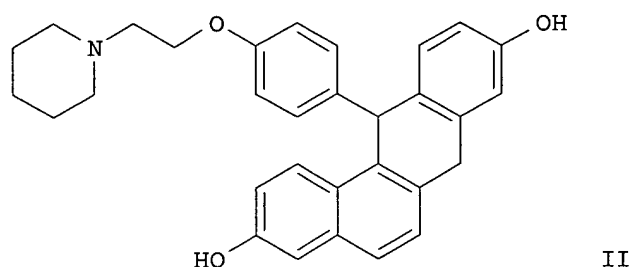
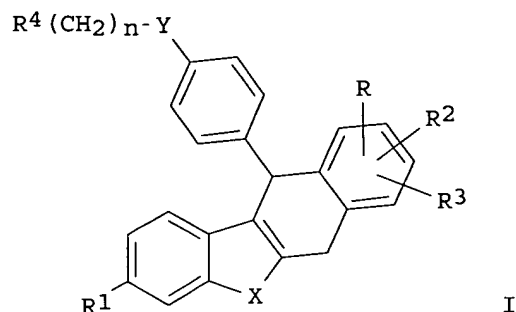
L20 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:767285 CAPLUS  
DOCUMENT NUMBER: 141:410789  
TITLE: Benzothiophene and naphthalene derived constrained  
SERMs  
AUTHOR(S): Wallace, Owen B.; Bryant, Henry U.; Shetler,  
Pamela K.; Adrian, Mary D.; Geiser, Andrew G.  
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company,  
Lilly Corporate Center, Indianapolis, IN, 46285, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),  
14(20), 5103-5106  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:410789  
AB For selective estrogen receptor modulators (SERMs), the orientation of the  
basic side chain relative to the SERM core has a significant impact on  
function. The synthesis and biol. evaluation of two series of SERMs are  
disclosed, where the ligand side chain is constrained to adopt a defined  
orientation. Compds. where the side chain is forced into the plane of the  
SERM core have a different profile compared to those compds. where the  
side chain is pseudo-orthogonal, particularly with regard to antagonism of  
estradiol action on an Ishikawa uterine cell line.  
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:292023 CAPLUS  
DOCUMENT NUMBER: 140:303419  
TITLE: Preparation of dihydro-dibenzo(a)anthracenes  
as selective **estrogen receptor**  
modulators  
INVENTOR(S): Wallace, Owen Brendan  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 58 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029047	A1	20040408	WO 2003-US26304	20030922
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2497627	AA	20040408	CA 2003-2497627	20030922
AU 2003265581	A1	20040419	AU 2003-265581	20030922



EP 1546139 A1 20050629 EP 2003-798700 20030922  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003014594 A 20050809 BR 2003-14594 20030922  
 JP 2006508066 T2 20060309 JP 2004-539841 20030922  
 PRIORITY APPLN. INFO.: US 2002-413609P P 20020925  
 WO 2003-US26304 W 20030922  
 OTHER SOURCE(S): MARPAT 140:303419  
 GI



AB Dihydro-dibenzo(a)anthracenes of formula I [R1 = H, OH, alkoxy, benzoyloxy, acyloxy, OSO2alkyl, etc.; R, R2, R3 = H, OH, alkoxy, benzoyloxy, acyloxy, OSO2alkyl, halo; R4 = 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino; n = 2-3; X = S, CH=CH; Y = O, S, NH, NMe, CH2] are prepared for pharmaceutical compns., optionally in combination with estrogen and progestin, for inhibiting a disease associated with estrogen deprivation or a disease associated with an aberrant physiol. response to endogenous estrogen. Thus, II.TFA was prepared from (2,6-dimethoxynaphthalen-1-yl)-[4-(2-piperidin-1-ylethoxy)phenyl]methanone and 3-methoxybenzylzinc chloride. II had IC50 of 2 nM against MCF-7 breast adenocarcinoma cells.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

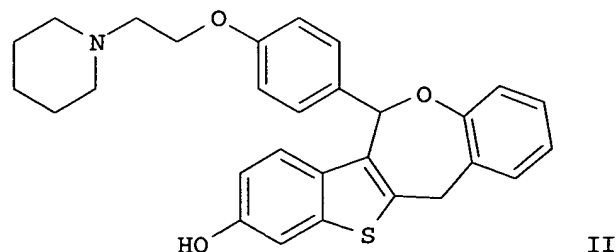
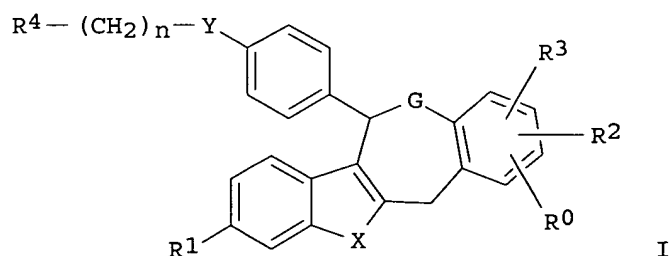
ACCESSION NUMBER: 2004:80700 CAPLUS

DOCUMENT NUMBER: 140:128294

TITLE: Preparation of dihydrodibenzo[b,e]oxepine based selective **estrogen receptor** modulators for treatment of estrogen related diseases

INVENTOR(S) : Wallace, Owen Brendan  
 PATENT ASSIGNEE(S) : Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009603	A1	20040129	WO 2003-US19554	20030711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003281632	A1	20040209	AU 2003-281632	20030711
EP 1527076	A1	20050504	EP 2003-742113	20030711
EP 1527076	B1	20051228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538091	T2	20051215	JP 2004-523010	20030711
AT 314375	E	20060115	AT 2003-742113	20030711
US 2005240017	A1	20051027	US 2005-521137	20050112
PRIORITY APPLN. INFO.:			US 2002-398538P	P 20020724
			WO 2003-US19554	W 20030711
OTHER SOURCE(S) : MARPAT 140:128294				
GI				



AB Title compds. I [wherein R1 = H, OH, alkoxy, OCOPh, alkanoyloxy, or alkylsulfonyloxy; R0, R2, and R3 = independently H, OH, alkoxy, OCOPh, alkanoyloxy, alkylsulfonyloxy, or halo; R4 = piperidinyl, (un)substituted pyrrolidinyl, morpholino, dialkylamino, or piperidinyl; n = 2 or 3; X = S or CH=CH; G = O, S, SO, SO2, or NR5; R5 = H or alkyl; Y = O, S, NH, NMe, or CH2; or pharmaceutically acceptable salts thereof] were prepared as selective estrogen receptor (ER) modulators. For example, reaction of 2-methoxybenzylmagnesium chloride with (2-dimethylamino-6-methoxybenzo[b]thiophen-3-yl) [4-[2-(piperidinyl-1-yl)ethoxy]phenyl]methanone in THF, followed by deprotection using HCl/ether in CH2Cl2 gave [6-hydroxy-2-(2-hydroxybenzyl)benzo[b]thiophen-3-yl] [4-[2-(piperidin-1-yl)ethoxy]phenyl]methanone (54%). Cyclization with DIBAL in THF provided the 5,11-dihydro-6-oxa-12-thiadibenzo[a,f]azulene II (62%). In competition binding assays, the latter showed activity with Ki values of 1 nM at both of the ER $\alpha$  and ER $\beta$  receptors. Thus, I are useful in pharmaceutical compns., optionally in combination with estrogen and progestin, for inhibiting a disease associated with estrogen deprivation or an aberrant physiol. response to endogenous estrogen, such as bone loss, breast cancer, endometriosis, or uterine fibrosis (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:80676 CAPLUS

DOCUMENT NUMBER: 140:146019

TITLE: Preparation of pentacyclic oxepines as  
**estrogen receptor** ligands

INVENTOR(S): Hinklin, Ronald Jay; **Wallace, Owen Brendan**

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009578	A2	20040129	WO 2003-US19561	20030718
WO 2004009578	A3	20040311		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003247589	A1	20040209	AU 2003-247589	20030718
EP 1551822	A2	20050713	EP 2003-765456	20030718
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005538092	T2	20051215	JP 2004-523013	20030718
US 2005261277	A1	20051124	US 2005-521998	20050118
PRIORITY APPLN. INFO.:			US 2002-398537P	P 20020724
			WO 2003-US19561	W 20030718

OTHER SOURCE(S): MARPAT 140:146019  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = H, OH, alkoxy, acyloxy, etc.; R0, R2-3 = H, OH, alkoxy, etc.; R4 = piperidinyl, pyrrolidinyl, etc.; n = 2-3; X = S, HC.tplbond.CH; G = O, SOO-2, amino, etc.] are prepared For instance, 2-(1,3-dioxolan-2-yl)phenylmagnesium bromide is added to (2-dimethylamino-6-methoxybenzo[b]thiophen-3-yl)[4-(2-(piperidin-1-yl)ethoxy)phenyl]methanone (THF) and the resulting acetal deprotected (THF/H2O, HCl, reflux, 30 min) and reduced/cyclized (THF, LAH) to give II. Tested I bound to ER $\alpha$  receptors with Ki = 0.7-300 nM. I, optionally in combination with estrogen or progestin, are useful for inhibiting a disease associated with estrogen deprivation and for inhibiting a disease associated with an aberrant physiol. response to endogenous estrogen.

L20 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:80504 CAPLUS

DOCUMENT NUMBER: 140:128285

TITLE: Preparation of (sulfonylphenylnaphthyl)-substituted piperidines as selective **estrogen receptor** modulators (SERMs) for treating endometriosis and/or uterine leiomyoma

INVENTOR(S): Dally, Robert Dean; Dodge, Jeffrey Alan; Frank, Scott Alan; Jones, Scott Alan; Shepherd, Timothy Alan; **Wallace, Owen Brendan**; Fong, Kin Chiu; Hummel, Conrad Wilson; Lewis, Geroge Sal

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

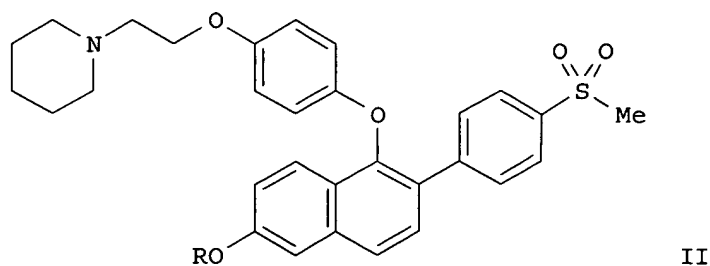
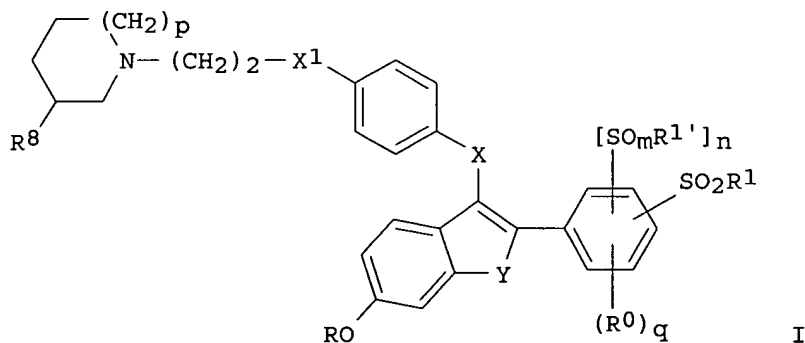
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009086	A1	20040129	WO 2003-IB3349	20030716
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2490580	AA	20040129	CA 2003-2490580	20030716
AU 2003253129	A1	20040209	AU 2003-253129	20030716
BR 2003012675	A	20050503	BR 2003-12675	20030716
EP 1530470	A1	20050518	EP 2003-765254	20030716
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1668303	A	20050914	CN 2003-817201	20030716

JP 2005538089 T2 20051215 JP 2004-522648 20030716  
 AU 2004216258 A1 20040910 AU 2004-216258 20040121  
 CA 2512663 AA 20040910 CA 2004-2512663 20040121  
 WO 2004075894 A1 20040910 WO 2004-US20 20040121  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI  
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 EP 1601356 A1 20051207 EP 2004-703963 20040121  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 CN 1753676 A 20060329 CN 2004-80005160 20040121  
 NO 2005000832 A 20050216 NO 2005-832 20050216  
 NO 2005004400 A 20050922 NO 2005-4400 20050922  
 PRIORITY APPLN. INFO.: US 2002-397869P P 20020722  
 US 2003-450233P P 20030225  
 WO 2003-IB3349 W 20030716  
 WO 2004-US20 W 20040121

OTHER SOURCE(S): MARPAT 140:128285  
 GI



AB Title compds. I [wherein m, p, and q = independently 0-2; n = 0-1; R = H or COR2; R0 = independently OH, CF3, halo, alkyl, or alkoxy; R1 and R1' = independently alkyl, alkoxy, NR3R3a, CF3, or CH2CF3; or when n and q = 0, SO2R1 may combine with the Ph ring to form a heterocycle; R2 = alkyl,

alkoxy, NR4R4, PhO, or (halo)phenyl; R3 = alkyl or Ph; R3a and R4 = independently H, alkyl, or Ph; X = O, CH2, or CO; X1 = O or NR5; R5 = H or alkyl; R8 = H or Me; with the provisos that if p = 1 or 2, then R8 = H and if p = 0, R8 = Me; Y = S, CH2CH2, or CH=CH; and pharmaceutical acid addition salts thereof] were prepared as selective estrogen receptor modulators (no data). For example, coupling of 4-(methanesulfonyl)phenylboronic acid with trifluoromethanesulfonic acid 6-methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester (preparation given) in the presence of CsF, Pd(OAc)2, and tricyclohexylphosphine in MeCN, followed by addition of MeOH, provided II (R = OMe) in 18% yield. Conversion of the piperidine derivative to its HCl salt (96%), demethylation using BBr3 in CH2Cl2 to give the alc. (85%), and recrystn. and treatment with 2M HCl in di-Et ether afforded II•HCl (R = OH) in 95% yield. In the antagonist mode of the Ishikawa cell proliferation assay, the latter blocked 70% of the estradiol-stimulated growth of human endometrial tumor cells. In addition, II•HCl (R = OH) inhibited estrogen-induced response when administered at 1.0 mg/kg in a 3-day rat uterus antagonist assay but did not significantly elevate circulating estradiol or LH levels in a 10-day rat hormone (ovarian stimulation) screen. Thus, I, and their pharmaceutical compns. are useful for treating endometriosis and/or uterine leiomyoma/leiomyomata.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:816645 CAPLUS

DOCUMENT NUMBER: 140:156455

TITLE: **Estrogen receptor** modulators:  
Relationships of ligand structure, receptor affinity  
and functional activity

AUTHOR(S): **Wallace, Owen B.**; Richardson, Timothy I.;  
Dodge, Jeffrey A.

CORPORATE SOURCE: Lilly Research Laboratories, Indianapolis, IN, 46285,  
USA

SOURCE: Current Topics in Medicinal Chemistry (Sharjah, United  
Arab Emirates) (2003), 3(14), 1663-1680  
CODEN: CTMCCL; ISSN: 1568-0266

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. The estrogen receptor is a regulator of a wide range of  
physiol. functions, including the female reproductive system, in addition to  
bone, cardiovascular and CNS function. ER ligands have been approved for  
the treatment of menopausal symptoms, breast cancer and osteoporosis,  
however the search continues for new modulators of ER function with  
improved properties. Progress in medicinal chemical programs has resulted in  
the identification of structurally diverse mols. with unique biol.  
properties. Recent advances in the design and synthesis of these  
non-steroidal and steroidal estrogen receptor ligands is reviewed. The  
relationship between the structural features of the ligand and receptor  
function is also discussed.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:363826 CAPLUS

DOCUMENT NUMBER: 139:159600

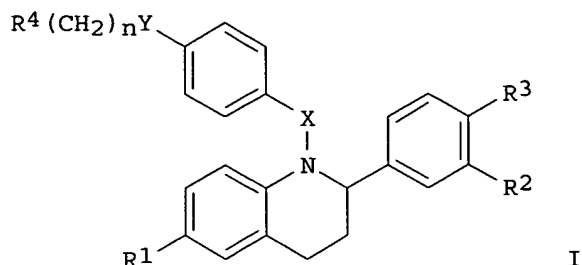
TITLE: Tetrahydroquinoline-based selective **estrogen**  
**receptor** modulators (SERMs)

AUTHOR(S) : **Wallace, Owen B.**; Lauwers, Kenneth S.;  
 Jones, Scott A.; Dodge, Jeffrey A.  
 CORPORATE SOURCE: Lilly Research Laboratories, Discovery Chemistry  
 Research and Technologies, Eli Lilly and Company,  
 Indianapolis, IN, 46285, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),  
 13(11), 1907-1910  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A new series of estrogen receptor ligands based on a 6-hydroxy-  
 tetrahydroquinoline scaffold is described, in addition to their binding  
 affinity and functional activity in MCF-7 cells. Several  
 1,2-disubstituted tetrahydroquinolines bearing a basic side chain were  
 shown to be high affinity ligands and antagonists in the MCF-7  
 proliferation assay. Compds. lacking the basic side chain were agonists  
 in the MCF-7 assay.  
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:906161 CAPLUS  
 DOCUMENT NUMBER: 137:384759  
 TITLE: Preparation of tetrahydroquinolines as selective  
**estrogen receptor** modulators.  
 INVENTOR(S) : **Wallace, Owen Brendan**  
 PATENT ASSIGNEE(S) : Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094788	A1	20021128	WO 2002-US11878	20020509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1395563	A1	20040310	EP 2002-746308	20020509
EP 1395563	B1	20060329		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531562	T2	20041014	JP 2002-591461	20020509
AT 321754	E	20060415	AT 2002-746308	20020509
US 2004215018	A1	20041028	US 2003-475593	20031022
PRIORITY APPLN. INFO.:			US 2001-292704P	P 20010522
			WO 2002-US11878	W 20020509
OTHER SOURCE(S) :	MARPAT 137:384759			
GI				



AB Title compds. (I; R1 = H, OH, alkoxy, PhO2C, alkoxycarbonyl, alkylsulfonyloxy; R2, R3 = H, OH, alkoxy, PhO2C, alkoxycarbonyl, alkylsulfonyloxy, halo; R4 = piperidinyl, pyrrolidinyl, methylpyrrolidinyl, dimethylpyrrolidinyl, morpholino, Me2N, Et2N, (Me2CH)2N, azepinyl; n = 1-3; X = CO, CH2; Y = O, S, NH, NMe, CH2), were prepared. Thus, 6-methoxy-2-(4-methoxyphenyl)-1,2,3,4-tetrahydroquinoline (preparation given), 4-(2-piperidin-1-ylethoxy)benzoyl chloride hydrochloride, and Et3N were stirred in CH2Cl2 to give [6-methoxy-2-(4-methoxyphenyl)-1,2,3,4-tetrahydroquinolin-1-yl]-[4-(2-piperidin-1-ylethoxy)phenyl]methanone. Tested I bound to ER $\alpha$  receptors with Ki = 0.6-87.8  $\mu$ M. I, optionally in combination with estrogen or progestin, are useful for inhibiting a disease associated with estrogen deprivation and for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:905861 CAPLUS

DOCUMENT NUMBER: 137:380058

TITLE: Tetrahydroquinolin derivatives for the inhibition of diseases associated with estrogen deprivation or with an aberrant physiological response to endogenous estrogen

INVENTOR(S): Wallace, Owen Brendan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094268	A1	20021128	WO 2002-US11879	20020509
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			



CA 2444787	AA	20021128	CA 2002-2444787	20020509
EP 1401446	A1	20040331	EP 2002-746309	20020509
EP 1401446	B1	20050209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531559	T2	20041014	JP 2002-590985	20020509
AT 288755	E	20050215	AT 2002-746309	20020509
PT 1401446	T	20050531	PT 2002-746309	20020509
ES 2236536	T3	20050716	ES 2002-2746309	20020509
US 2004132770	A1	20040708	US 2003-475867	20031022
US 6962928	B2	20051108		
PRIORITY APPLN. INFO.:			US 2001-292576P	P 20010522
			WO 2002-US11879	W 20020509
OTHER SOURCE(S): MARPAT 137:380058				
AB The current invention provides methods for inhibiting a disease associated with estrogen deprivation and for inhibiting disease associated with an aberrant physiol. response to endogenous estrogen comprising administering 2-substituted 1,2,3,4-tetrahydroquinolin-6-ols and derivs. thereof.				
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

=> file beils

FILE 'BEILSTEIN' ENTERED AT 15:27:19 ON 24 MAY 2006

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FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.

\*\*\* FILE CONTAINS 9,516,393 SUBSTANCES \*\*\*

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
\* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. \*  
\* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE \*  
\* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE \*  
\* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. \*  
\* FOR PRICE INFORMATION SEE HELP COST \*  
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#### NEW

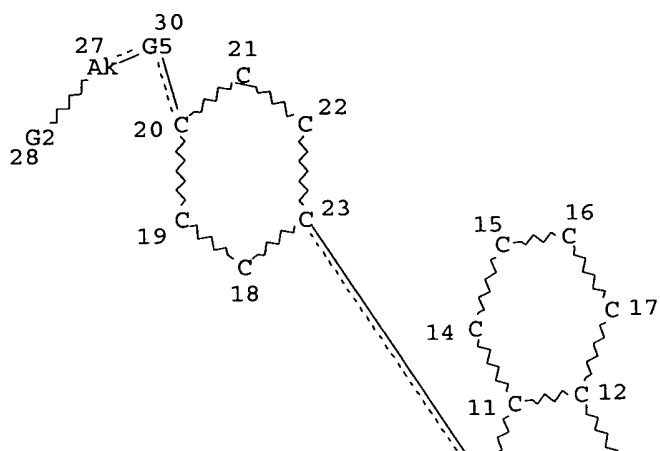
\* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.  
\* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> d que 126  
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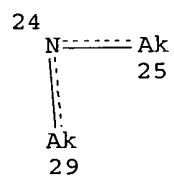
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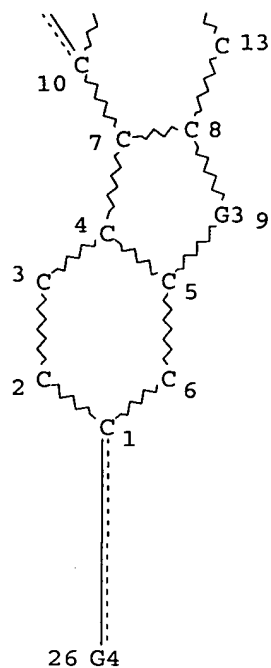


Page 1-A



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Page 1-B



Page 2-A

31 C~~~~~C 32

Page 2-B

VAR G2=33/24

VAR G3=34/31-8 32-5

VAR G4=35/36

VAR G5=37/38/39/40

NODE ATTRIBUTES:

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NSPEC	IS R	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
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NSPEC	IS R	AT	22

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NSPEC   IS R       AT 23
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DEFAULT MLEVEL IS ATOM
MLEVEL   IS CLASS  AT 24 25 27 29 31 32 33 34 35 36 37 38 39 40
DEFAULT ECLEVEL IS LIMITED

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## GRAPH ATTRIBUTES:

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 40

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## STEREO ATTRIBUTES: NONE

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L8          93 SEA FILE=REGISTRY SSS FUL L1
L22         STR

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

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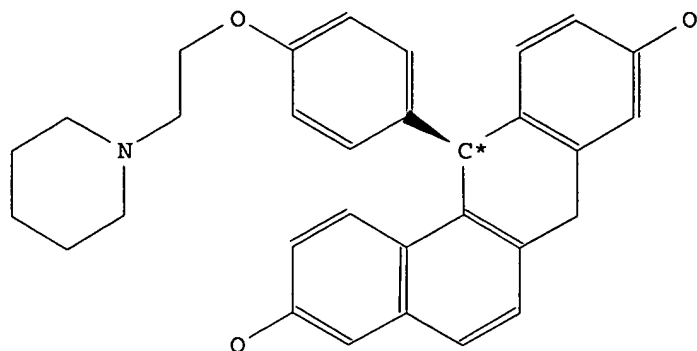
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L26         12 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L25 AND BABSAN/FA

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=> d ide allref l26 1

L26 ANSWER 1 OF 12 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9884696
Chemical Name (CN):	12-<4-(2-piperidin-1-yl-ethoxy)-phenyl>-7,12-dihydro-benzo<a>anthracene-3,9-diol
Autonom Name (AUN):	12-<4-(2-piperidin-1-yl-ethoxy)-phenyl>-7,12-dihydro-benzo<a>anthracene-3,9-diol
Molec. Formula (MF):	C31 H31 N O3
Molecular Weight (MW):	465.59
Lawson Number (LN):	24081, 6623, 3122
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	8321847
Tautomer ID (TAUTID):	9259912
Entry Date (DED):	2005/04/22
Update Date (DUPD):	2005/04/22



## Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

## All References:

ALLREF

- Wallace, Owen B.; Bryant, Henry U.; Shetler, Pamela K.; Adrian, Mary D.; Geiser, Andrew G., Bioorg. Med. Chem. Lett., CODEN: BMCLE8, 14(20), <2004>, 5103 - 5106; BABS-6471965

=> file marpat

FILE 'MARPAT' ENTERED AT 15:27:47 ON 24 MAY 2006

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FILE CONTENT: 1961-PRESENT VOL 144 ISS 21 (20060519/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006062725	23	MAR	2006
DE	102004043368	09	MAR	2006
EP	1632495	08	MAR	2006
JP	2006066839	09	MAR	2006
WO	2006042453	27	APR	2006
GB	2416167	18	JAN	2006
FR	2875804	31	MAR	2006
RU	2270725	27	FEB	2006
CA	2514373	19	FEB	2006

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que l34

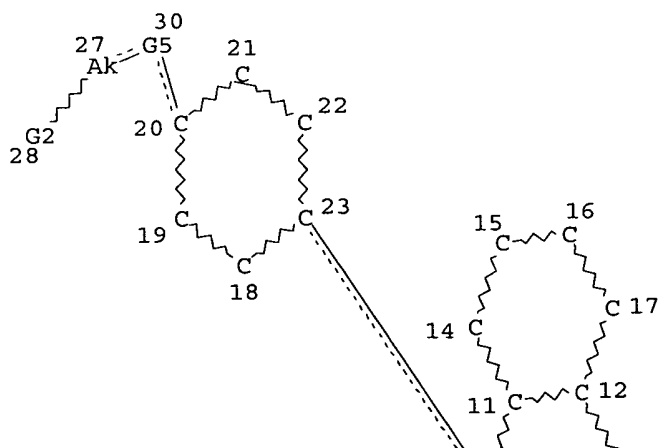
L1 STR

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H 35 O 36

S 34

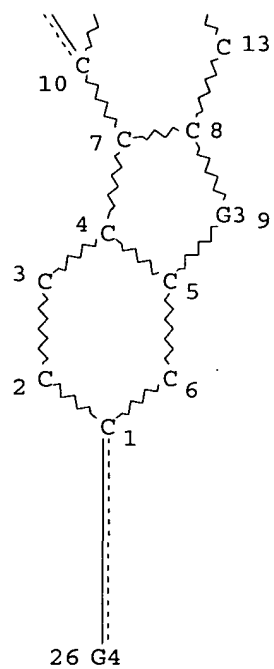
Cy 33



24  
N-----Ak  
25  
Ak  
29

 $\wedge \wedge \wedge \wedge \wedge .$ 

Page 1-B



Page 2-A

31 C-~~~~~C 32

Page 2-B

VAR G2=33/24

VAR G3=34/31-8 32-5

VAR G4=35/36

VAR G5=37/38/39/40

**NODE ATTRIBUTES:**

HCOUNT IS M2 AT 40

NSPEC IS R AT 1

NSPEC	IS R	AT	2
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NSPEC	IS	R	AT	3
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NSPEC IS R AT 4  
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DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 24 25 27 29 31 32 33 34 35 36 37 38 39 40  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 40

## STEREO ATTRIBUTES: NONE

L8 93 SEA FILE=REGISTRY SSS FUL L1  
L10 7 SEA FILE=CAPLUS ABB=ON PLU=ON L8  
L22 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L32 5 SEA FILE=MARPAT SSS FUL L22  
L33 4 SEA FILE=MARPAT ABB=ON PLU=ON L32/COM  
L34 1 SEA FILE=MARPAT ABB=ON PLU=ON L33 NOT L10

=> d ibib abs qhit l34 tot

L34 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 126:124543 MARPAT  
TITLE: Organic electroluminescent device  
INVENTOR(S): Nakatani, Kenji; Inoe, Tetsuji  
PATENT ASSIGNEE(S): Tdk Electronics Co Ltd, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
CODEN: JKXXAF



DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08311442	A2	19961126	JP 1995-142507	19950517
JP 3712760	B2	20051102		
US 6203933	B1	20010320	US 1996-648766	19960516
JP 2005327740	A2	20051124	JP 2005-202075	20050711
			JP 1995-142507	19950517

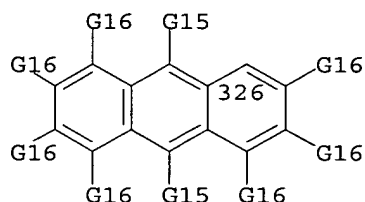
## PRIORITY APPLN. INFO.:

AB The invention relates to an organic electroluminescent device having sufficient intensity in the yellow and red regions, wherein the light emitting layer contains the compound represented by (Ar)<sub>m</sub>-L [Ar = aromatic; m = integer 2-6; L = m-valent polycyclic aromatic residue with 3-10 rings; if L is di- or trivalent anthracene derivative, then ≥1 Ar is alkynylarene; if L is tetravalent 5,6,11,12-Ar substituted naphthacene derivative, then four Ar's may not all be Ph].

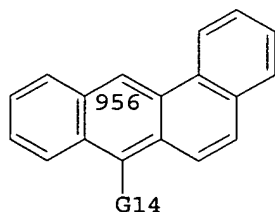
## MSTR 1

G1—G2

G1 = 3 / 326

G3—G7  
3

G2 = 956



G3 = alkynylene  
 G4 = aryl (opt. substd.)  
 G15 = 385

G3—G7  
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G16 = 391

G3—G4  
391

Patent location:

claim 1

Note:

substitution is restricted